10/070,329

SEARCH TRANSCRIPT

```
Connecting via Winsock to STN


Welcome to STN International!  Enter x:x

LOGINID:assptal623zct

PASSWORD:
  * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'HOME' AT 13:21:52 ON 22 APR 2004
FILE 'HOME' ENTERED AT 13:21:52 ON 22 APR 2004
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY     SESSION
FULL ESTIMATED COST                              3.36       3.36

=> file reg
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY     SESSION
FULL ESTIMATED COST                              3.36       3.36

FILE 'REGISTRY' ENTERED AT 13:21:57 ON 22 APR 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   21 APR 2004  HIGHEST RN 676437-01-7
DICTIONARY FILE UPDATES:  21 APR 2004  HIGHEST RN 676437-01-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\DIHYDROAZEPINE LOU.str

L1      STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1              STR
```
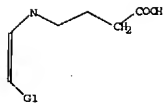
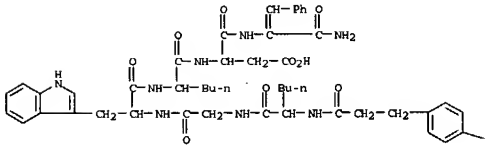



```
G1 N,P,X,CF2,CF3,CCl2,CCl3,CBr2,CBr3,Cl2,Cl3,CN,NO2,Cy

Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 13:28:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     381 TO ITERATE

100.0% PROCESSED     381 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:          6449 TO     8791
PROJECTED ANSWERS:                0 TO        0

L2            0 SEA SSS SAM L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 13:28:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   7811 TO ITERATE

100.0% PROCESSED    7811 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

L3            1 SEA SSS FUL L1

=> D

L3   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   141982-54-9  REGISTRY
CN   Phenylalaninamide, N-[1-oxo-3-[4-(sulfooxy)phenyl]propyl]-L-
     norleucylglycyl-L-tryptophyl-L-norleucyl-L-α-aspartyl-α,β-
     didehydro-, (Z)- (9CI)  (CA INDEX NAME)
FS   PROTEIN SEQUENCE
MF   C47 H58 N8 O13 S
SR   CA
LC   STN Files:   CA, CAPLUS

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
```

PAGE 1-A



PAGE 1-B

```
Structure attributes must be viewed using STN Express query preparation.

=> S L4
SAMPLE SEARCH INITIATED 13:31:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     20 TO ITERATE

100.0% PROCESSED      20 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:          132 TO      668
PROJECTED ANSWERS:               0 TO        0

L5            0 SEA SSS SAM L4

=> S L5
SAMPLE SEARCH INITIATED 13:32:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     20 TO ITERATE

100.0% PROCESSED      20 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:          132 TO      668
PROJECTED ANSWERS:               0 TO        0

L6            0 SEA SSS SAM L4

=> FILE CAPLUS
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY     SESSION
FULL ESTIMATED COST                            164.33     167.69

FILE 'CAPLUS' ENTERED AT 13:32:39 ON 22 APR 2004
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FILE COVERS 1907 - 22 Apr 2004  VOL 140 ISS 17
FILE LAST UPDATED: 21 Apr 2004  (20040421/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> S IKEMOTO/IN
L7            0 IKEMOTO/IN

=> S ACETIC
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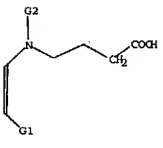

```
G1 N,P,X,CF2,CF3,CCl2,CCl3,CBr2,CBr3,Cl2,Cl3,CN,NO2,Cy
G2 C,S
```

```
        201892 ACETIC
            22 ACETICS
L8      201901 ACETIC
               (ACETIC OR ACETICS)

=> S IKEMOTO, TOMOMI/IN
L9          14 IKEMOTO, TOMOMI/IN

=> S L9 AND DIHYDROAZEPINE
            47 DIHYDROAZEPINE
            32 DIHYDROAZEPINES
            68 DIHYDROAZEPINE
               (DIHYDROAZEPINE OR DIHYDROAZEPINES)
L10          2 L9 AND DIHYDROAZEPINE

=> D 1-2 IBIB ABS

L10  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2001:185729  CAPLUS
DOCUMENT NUMBER:          134:222639
TITLE:                    Process for the preparation of 2,3-
                          dihydroazepine derivatives
INVENTOR(S):              Ikemoto, Tomomi; Ito, Tatsuya; Miura,
                          Shoutarou; Tomimatsu, Kiminori
PATENT ASSIGNEE(S):       Takeda Chemical Industries, Ltd., Japan
SOURCE:                   PCT Int. Appl., 86 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ----------------  ----  --------      ---------------  --------
     WO 2001017971     A1    20010315      WO 2000-JP6011   20000905
         W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU,
            CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ,
            LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO,
            RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     JP 2001151757     A2    20010605      JP 2000-275002   20000906
PRIORITY APPLN. INFO.:                    JP 1999-252333   A  19990906
OTHER SOURCE(S):          CASREACT 134:222639; MARPAT 134:222639
GI
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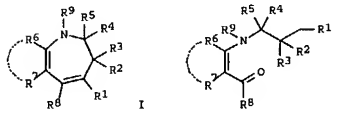

I II

```
AB    A process for preparing 2,3-dihydroazepine derivs. of general
      formula (I; wherein R1 is an electron-withdrawing group; R2, R3, R4, R5,
      R6 and R7 are each hydrogen, halogeno, optionally substituted amino,
      optionally substituted hydroxyl, an optionally substituted thiol group,
      optionally substituted hydrocarbyl, or an optionally substituted
      heterocyclic group, alternatively R2 and R3, R4 and R5, R2 and R4, or R6
      and R7 may be united to form a ring; R8 is hydrogen or optionally
      substituted hydrocarbyl; and R9 is optionally substituted hydrocarbyl,
      optionally substituted acyl, or substituted sulfonyl) or salts thereof
      inexpensively and simply is characterized by subjecting compds. of general
      formula (II; R1 - R9 = same as above) or salts thereof to ring-closing
      reaction in the presence of a carbonic diester.  I are useful as
      intermediates for anilides derivs. having CCR5 antagonist activity.  Thus,
      1.0 g 4-bromo-2-formyl-N-tosylaniline (preparation given) and 0.61 g Et
      4-bromobutyrate were dissolved in 3 mL DMF , treated with 0.58 g K2CO3,
      stirred at 70° for 6 h, and cooled to room temperature, followed by
      adding di-Et carbonate and 1.44 g 20% EtONa/EtOH, and the resulting mixture
      was stirred at room temperature for 3 h to give, after workup, 54.0%
      7-bromo-1-tosyl-2,3-dihydro-1-benzazepine-4-carboxylic acid Et ester.
REFERENCE COUNT:          9     THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10  ANSWER 2 OF 2  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2001:185710  CAPLUS
DOCUMENT NUMBER:          134:222638
TITLE:                    Process for the preparation of 2,3-
                          dihydroazepine compounds
INVENTOR(S):              Ikemoto, Tomomi; Ito, Tatsuya; Nishiguchi,
                          Atsuko; Tomimatsu, Kiminori
PATENT ASSIGNEE(S):       Takeda Chemical Industries, Ltd., Japan
SOURCE:                   PCT Int. Appl., 59 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ----------------  ----  --------      ---------------  --------
     WO 2001017947     A1    20010315      WO 2000-JP6012   20000905
         W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU,
            CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ,
            LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO,
            RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2000068706     A5    20010410      AU 2000-68706    20000905
     EP 1211239        A1    20020605      EP 2000-956925   20000905
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL
     JP 2001151741     A2    20010605      JP 2000-275003   20000906
PRIORITY APPLN. INFO.:                    JP 1999-252334   A  19990906
                                          WO 2000-JP6012   W  20000905
OTHER SOURCE(S):          CASREACT 134:222638; MARPAT 134:222638
GI
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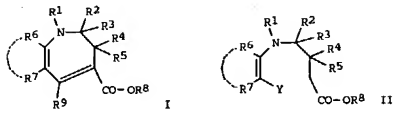

I II

```
AB    2,3-Dihydroazepine compds. I [R1 = (un)substituted hydrocarbon,
      etc.; R2 - R7 = H, halo, etc.; further details on R1 - R7 are given; R8 =
      (un)substituted hydrocarbon; R9 = H, (un)substituted hydrocarbon], useful
      as intermediates for CCR5 antagonists, are prepared by cyclization of esters
      II [R1 - R8 = as defined above; Y = COR9; R9 = as defined above).
      Intermediates for the preparation of II are also disclosed in this document.
      Thus, a mixture of Et 4-(4-bromo-2-formyl-N-methylanilino)butyrate, sodium
      ethoxide solution in ethanol, and di-Et carbonate was stirred at room
temperature
      for 4 h to give, after workup, 7-bromo-1-methyl-2,3-dihydro-1-benzazepine-
      4-carboxylic acid Et ester in 90% yield.
REFERENCE COUNT:          14    THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> S L6 AND L10
            0 L6
L11         0 L6 AND L10

=> FILE REG
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                               ENTRY     SESSION
FULL ESTIMATED COST                            16.73      184.42

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE      TOTAL
                                               ENTRY     SESSION
CA SUBSCRIBER PRICE                            -1.39       -1.39

FILE 'REGISTRY' ENTERED AT 13:38:34 ON 22 APR 2004
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STRUCTURE FILE UPDATES:   21 APR 2004  HIGHEST RN 676437-01-7
DICTIONARY FILE UPDATES:  21 APR 2004  HIGHEST RN 676437-01-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\DIHYDROAZEPINE.str
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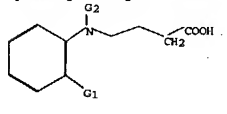
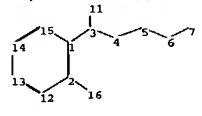
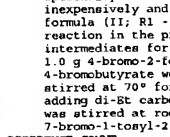




```
chain nodes :
3  6  7  11  16
ring nodes :
1  2  12  13  14  15
ring/chain nodes :
4  5
chain bonds :
1-3  2-16  3-4  3-11  4-5  5-6  6-7
ring bonds :
1-2  1-15  2-12  12-13  13-14  14-15
exact/norm bonds :
1-3  2-16  3-4  3-11
exact bonds :
4-5  5-6  6-7
normalized bonds :
1-2  1-15  2-12  12-13  13-14  14-15


G1:N,P,X,CF2,CF3,CCl2,CCl3,CBr2,CBr3,CI2,CI3,CN,NO2,Cy

G2:C,S

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  11:CLASS  12:Atom
13:Atom  14:Atom  15:Atom  16:CLASS



L12     STRUCTURE UPLOADED

=> D L12
L12 HAS NO ANSWERS
L12             STR
```



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G1 N,P,X,CF2,CF3,CCl2,CCl3,CBr2,CBr3,CI2,CI3,CN,NO2,Cy
G2 C,S


Structure attributes must be viewed using STN Express query preparation.


=> S L12
SAMPLE SEARCH INITIATED 13:38:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      93 TO ITERATE

100.0% PROCESSED       93 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          1282 TO     2438
PROJECTED ANSWERS:                0 TO        0

L13           0 SEA SSS SAM L12
```

```
=> S L12 SSS FULL
FULL SEARCH INITIATED 13:39:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1931 TO ITERATE

100.0% PROCESSED    1931 ITERATIONS                          11 ANSWERS
SEARCH TIME: 00.00.01

L14          11 SEA SSS FUL L12

=> D 1-11

L14  ANSWER 1 OF 11  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   577700-18-6  REGISTRY
CN   Butanoic acid, 4-[ethenyl(oxiranylmethyl)amino]-, polymer with
     1-ethenyl-2-pyrrolidinone, 2-(acetyloxy)benzoate (ester) (9CI)  (CA INDEX
     NAME)
MF   (C9 H15 N O3 . C6 H9 N O)x . x C9 H8 O4
PCT  Polyvinyl
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER

     CM   1

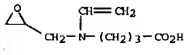
     CRN  50-78-2
     CMF  C9 H8 O4
```

```
     CM   2

     CRN  577700-17-5
     CMF  (C9 H15 N O3 . C6 H9 N O)x
     CCI  PMS

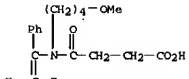
          CM   3

          CRN  577700-16-4
          CMF  C9 H15 N O3
```



```
          CM   4

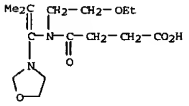
          CRN  88-12-0
          CMF  C6 H9 N O
```



```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

               1 REFERENCES IN FILE CA (1907 TO DATE)
               1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14  ANSWER 5 OF 11  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   244153-95-5  REGISTRY
CN   Butanoic acid, 4-[(2-ethoxyethyl)[2-methyl-1-(3-oxazolidinyl)-1-
     propenyl]amino]-4-oxo-  (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C15 H26 N2 O5
SR   CA
LC   STN Files:   CA, CAPLUS
```



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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

               1 REFERENCES IN FILE CA (1907 TO DATE)
               1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14  ANSWER 6 OF 11  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   244153-87-5  REGISTRY
CN   Butanoic acid, 4-[(cyclohexylidenephenylmethyl)(ethoxymethyl)amino]-4-oxo-
     (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C20 H27 N O4
SR   CA
LC   STN Files:   CA, CAPLUS
```

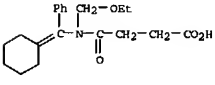

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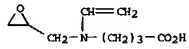
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

               1 REFERENCES IN FILE CA (1907 TO DATE)
               1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
               2 REFERENCES IN FILE CA (1907 TO DATE)
               2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14  ANSWER 2 OF 11  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   577700-17-5  REGISTRY
CN   Butanoic acid, 4-[ethenyl(oxiranylmethyl)amino]-, polymer with
     1-ethenyl-2-pyrrolidinone (9CI)  (CA INDEX NAME)
MF   (C9 H15 N O3 . C6 H9 N O)x
CI   PMS, COM
PCT  Polyvinyl
SR   CA

     CM   1

     CRN  577700-16-4
     CMF  C9 H15 N O3
```



```
     CM   2

     CRN  88-12-0
     CMF  C6 H9 N O
```

```
L14  ANSWER 3 OF 11  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   577700-16-4  REGISTRY
CN   Butanoic acid, 4-[ethenyl(oxiranylmethyl)amino]- (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C9 H15 N O3
CI   COM
SR   CA
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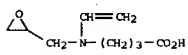

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L14  ANSWER 4 OF 11  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   244154-05-0  REGISTRY
CN   Butanoic acid, 4-[(2-ethyl-1-phenyl-1-hexenyl)(4-methoxybutyl)amino]-4-oxo-
     (9CI)  (CA INDEX NAME)
MF   C23 H35 N O4
SR   CA
LC   STN Files:   CA, CAPLUS
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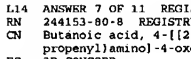

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L14  ANSWER 7 OF 11  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   244153-80-8  REGISTRY
CN   Butanoic acid, 4-[[2-(2-chloroethoxy)ethyl](2-methyl-1-phenyl-1-
     propenyl)amino]-4-oxo-  (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C18 H24 Cl N O4
SR   CA
LC   STN Files:   CA, CAPLUS
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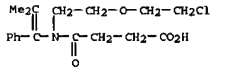

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

               1 REFERENCES IN FILE CA (1907 TO DATE)
               1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14  ANSWER 8 OF 11  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   244153-77-3  REGISTRY
CN   Butanoic acid, 4-[(2-ethoxyethyl)(2-methyl-1-phenyl-1-propenyl)amino]-4-
     oxo-  (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C18 H25 N O4
SR   CA
LC   STN Files:   CA, CAPLUS
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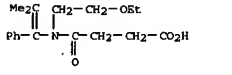

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

               1 REFERENCES IN FILE CA (1907 TO DATE)
               1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14  ANSWER 9 OF 11  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   130338-48-6  REGISTRY
CN   Butanoic acid, 4-[(2,2-dicyanoethenyl)[2-[(tetrahydro-2-methyl-2-
     furanyl)thio]ethyl]amino]-  (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C15 H21 N3 O3 S
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
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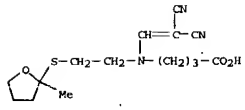
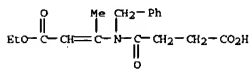


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

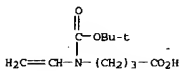
L14 ANSWER 10 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN
RN 115398-14-6 REGISTRY
CN 2-Butenoic acid, 3-[(3-carboxy-1-oxopropyl)(phenylmethyl)amino]-, 1-ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H21 N O5
SR CA
LC STN Files: CA, CAPLUS, CASREACT



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 11 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN
RN 96853-86-0 REGISTRY
CN Butanoic acid, 4-[[(1,1-dimethylethoxy)carbonyl]ethenylamino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C11 H19 N O4
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> D L10 1-2 RN
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:Y

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
RN 64-18-6
RN 70-55-3
RN 98-59-9
RN 105-58-8
RN 2969-81-5
RN 5344-90-1
RN 22237-13-4
RN 52727-57-8
RN 93777-26-5
RN 6590-65-4P
RN 34159-05-2P
RN 90312-02-0P
RN 223526-84-9P
RN 229009-28-3P
RN 313726-95-3P
RN 313735-42-1P
RN 329281-02-9P
RN 313724-97-9P

L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
RN 3470-99-3P
RN 313737-28-9P
RN 329347-22-0P
RN 329347-23-1P
RN 329347-24-2P
RN 329347-25-3P
RN 329347-26-4P
RN 329347-27-5P
RN 329347-28-6P
RN 329347-29-7P
RN 329347-30-0P
RN 329347-31-1P
RN 329347-32-2P
RN 329347-33-3P
RN 329347-34-4P
RN 329347-35-5P
RN 251554-47-9P
RN 313731-43-0P
RN 329347-13-9P
RN 329347-14-0P
RN 329347-15-1P
RN 329347-16-2P
RN 329347-17-3P
RN 329347-18-4P
RN 329347-19-5P
RN 329347-20-8P
RN 329347-21-9P
RN 56-12-2
RN 74-88-4
RN 74-96-4
RN 75-03-6
RN 106-94-5
RN 123-38-6
RN 135-02-4
RN 446-52-6
RN 616-45-5
RN 2687-97-0
RN 3470-98-2
RN 3772-26-7
RN 6361-21-3
RN 6837-24-7
RN 6976-17-6
RN 7439-95-4
RN 39255-24-8
RN 77771-02-9
RN 93777-26-5

=> SEL L10 RN
NO ANSWERS SELECTED. THE ANSWER SET WAS CREATED IN FILE 'CAPLUS'.
USE THE FILE COMMAND TO CHANGE TO THE CORRECT FILE.
An answer set can be processed to create terms only
in the same file in which it was created.

=> FILE CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	362.06
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.39

FILE 'CAPLUS' ENTERED AT 13:41:28 ON 22 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 22 Apr 2004 VOL 140 ISS 17
FILE LAST UPDATED: 21 Apr 2004 (20040421/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> SEL L10 RN
E1 THROUGH E63 ASSIGNED

=> S E1-E63

58	93777-26-5/BI
3862	105-58-8/BI
3842	106-94-5/BI
11255	123-38-6/BI
2840	135-02-4/BI
50	22237-13-4/BI
4	223526-84-9/BI
3	229009-28-3/BI
2	251554-47-9/BI
47	2687-97-0/BI
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256	6837-24-7/BI
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=> S L15 AND ACID

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        3807741 ACID
        1429642 ACIDS
        4273795 ACID
                (ACID OR ACIDS)
L16      104075 L15 AND ACID

=> S L16 AND BUTYRIC
         42675 BUTYRIC
L17       5057 L16 AND BUTYRIC

=> D HIS

     (FILE 'HOME' ENTERED AT 13:07:39 ON 22 APR 2004)

     FILE 'REGISTRY' ENTERED AT 13:21:57 ON 22 APR 2004
L1              STRUCTURE UPLOADED
L2            0 S L1
L3            1 S L1 SSS FULL
L4              STRUCTURE UPLOADED
L5            0 S L4
L6            0 S L5

     FILE 'CAPLUS' ENTERED AT 13:32:39 ON 22 APR 2004
L7            0 S IKEMOTO/IN
L8       201901 S ACETIC
L9           14 S IKEMOTO, TOMOMI/IN
L10           2 S L9 AND DIHYDROAZEPINE
L11           0 S L6 AND L10

     FILE 'REGISTRY' ENTERED AT 13:38:34 ON 22 APR 2004
L12             STRUCTURE UPLOADED
L13           0 S L12
L14          11 S L12 SSS FULL

     FILE 'CAPLUS' ENTERED AT 13:41:11 ON 22 APR 2004

     FILE 'REGISTRY' ENTERED AT 13:41:11 ON 22 APR 2004

     FILE 'CAPLUS' ENTERED AT 13:41:28 ON 22 APR 2004
                SEL L10 RN
L15      309771 S E1-E63
L16      104075 S L15 AND ACID
L17        5057 S L16 AND BUTYRIC

=> FILE REG
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                               ENTRY    SESSION
FULL ESTIMATED COST                            119.99     482.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE      TOTAL
                                               ENTRY    SESSION
CA SUBSCRIBER PRICE                             0.00      -1.39

FILE 'REGISTRY' ENTERED AT 13:43:36 ON 22 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   21 APR 2004  HIGHEST RN 676437-01-7
DICTIONARY FILE UPDATES:  21 APR 2004  HIGHEST RN 676437-01-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004
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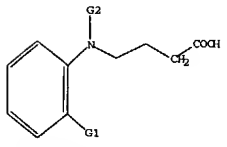

G1 N,P,X,CF2,CF3,CCl2,CCl3,CBr2,CBr3,CI2,CI3,CN,NO2,Cy
G2 C,S

Structure attributes must be viewed using STN Express query preparation.

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=> S L18
SAMPLE SEARCH INITIATED 13:44:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    294 TO ITERATE

100.0% PROCESSED     294 ITERATIONS                          7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          4852 TO      6908
PROJECTED ANSWERS:                7 TO       298

L19            7 SEA SSS SAM L18

=> S L18 SSS FULL
FULL SEARCH INITIATED 13:44:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6152 TO ITERATE

100.0% PROCESSED    6152 ITERATIONS                         76 ANSWERS
SEARCH TIME: 00.00.01

L20           76 SEA SSS FUL L18

=> FILE CAPLUS
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                               ENTRY    SESSION
FULL ESTIMATED COST                            155.84     637.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE      TOTAL
                                               ENTRY    SESSION
CA SUBSCRIBER PRICE                             0.00      -1.39

FILE 'CAPLUS' ENTERED AT 13:44:34 ON 22 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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Uploading C:\Program Files\Stnexp\Queries\DIHYDROAZEPINE.str
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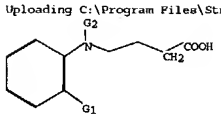

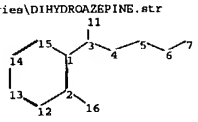


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chain nodes :
3  6  7  11  16
ring nodes :
1  2  12  13  14  15
ring/chain nodes :
4  5
chain bonds :
1-3  2-16  3-4  3-11  4-5  5-6  6-7
ring bonds :
1-2  1-15  2-12  12-13  13-14  14-15
exact/norm bonds :
1-3  2-16  3-4  3-11
exact bonds :
4-5  5-6  6-7
normalized bonds :
1-2  1-15  2-12  12-13  13-14  14-15

G1:N,P,X,CF2,CF3,CCl2,CCl3,CBr2,CBr3,CI2,CI3,CN,NO2,Cy

G2:C,S

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  11:CLASS  12:Atom
13:Atom  14:Atom  15:Atom  16:CLASS



L18     STRUCTURE UPLOADED

=> D L18
L18 HAS NO ANSWERS
L18             STR
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FILE COVERS 1907 - 22 Apr 2004  VOL 140 ISS 17
FILE LAST UPDATED: 21 Apr 2004  (20040421/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> S L20
L21           44 L20

=> D 1-44 IBIB ABS HITSTR

L21  ANSWER 1 OF 44  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2003:991386  CAPLUS
DOCUMENT NUMBER:          140:24927
TITLE:                    Neutrophil imaging methods in cystic fibrosis
INVENTOR(S):              Goldenberg, David M.
PATENT ASSIGNEE(S):       Immunomedics, Inc., USA; McCall, John Douglas
SOURCE:                   PCT Int. Appl., 46 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ----------------  ----  ------        ---------------  --------
     WO 2003103723     A2    20031218      WO 2003-GB2392   20030602
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
            PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
            TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
            MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
            CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
            NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
            GW, ML, MR, NE, SN, TD, TG
     US 2004044076     A1    20040304      US 2003-452925   20030603
PRIORITY APPLN. INFO.:                    US 2002-386411P  P  20020607
AB   The present invention is directed to an improved method to detect and
     monitor a subject having cystic fibrosis (CF) by employing at least one
     anti-granulocyte/neutrophil antibody or a fragment thereof and a
     diagnostic agent via various imaging methods, wherein said
     anti-granulocyte antibody is not a murine MN-3 antibody Fab' fragment that
     is radiolabeled with 99mTc.  Pretargeting methods for improved imaging of
     granulocytes accumulated in CF are also described.  It is further directed
     to a simple, noninvasive, and effective test that can assess neutrophil
     delivery to the lower airways of patients with CF and effective test that
     can assess neutrophil delivery to the lower airways of patients with other
     neutrophil-mediated lung diseases.
IT   37863-70-0, Iosumetic acid
     RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)
        (neutrophil imaging in diagnosis of cystic fibrosis)
RN   37863-70-0  CAPLUS
CN   Butanoic acid, 4-[ethyl[2,4,6-triiodo-3-(methylamino)phenyl]amino]-4-oxo-
     (9CI)  (CA INDEX NAME)
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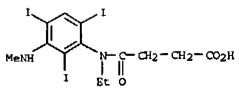


L21 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:836381 CAPLUS
DOCUMENT NUMBER: 139:341719
TITLE: Use of bi-specific antibodies for pre-targeting diagnosis and therapy
INVENTOR(S): Goldenberg, David M.; Hansen, Hans J.; Leung, Shui-on; McBride, William J.; Qu, Zhengxing
PATENT ASSIGNEE(S): Immunomedics, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 59 pp., Cont.-in-part of U.S. Ser. No. 823,746.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003198595	A1	20031023	US 2002-150654	20020517
US 2002006379	A1	20020117	US 2001-823746	20010403
WO 2003097105	A1	20031127	WO 2003-GB2110	20030516

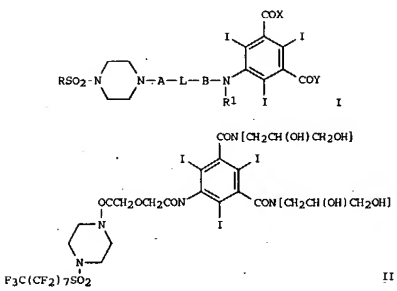
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
US 1998-90142P P 19980622
US 1998-104156P P 19981014
US 1999-382186 A2 19990823
US 2001-823746 A2 20010403
US 1999-337756 A2 19990622
US 2002-150654 A 20020517

AB The present invention relates to a bi-specific antibody or antibody fragment having at least one arm that specifically binds a targeted tissue and at least one other arm that specifically binds a targetable construct. The targetable construct comprises a carrier portion which comprises or bears at least one epitope recognizable by at least one arm of said bi-specific antibody or antibody fragment. The targetable construct further comprises one or more therapeutic or diagnostic agents or enzymes. The invention provides constructs and methods for producing the bi-specific antibodies or antibody fragments, as well as methods for using them.

IT 37863-70-0, Iosumetic acid
RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)
(bi-specific antibodies for pre-targeting diagnosis and therapy)

RN 37863-70-0 CAPLUS
CN Butanoic acid, 4-[ethyl[2,4,6-triiodo-3-(methylamino)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

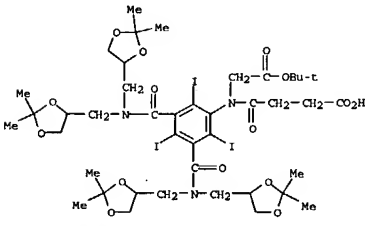


II

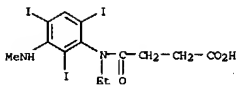
AB Triiodoisophthalic acid deriva. I [R = polyfluoroalkyl; A, B = CO, SO2; L = (un)substituted alkylene which may contain O, S, or SO2 units; R1 = H, carboxyalkyl; X, Y = OH, ONa, OMeglumin, (un)substituted NH2] were prepared for use as contrast media for x-ray diagnostics, magnetic resonance diagnostics and magnetic resonance spectroscopy. Thus, the diamide II was prepared and has a critical micelle concentration of 6.4X10-6 mol/mL.

IT 595568-14-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triiodine aroms. containing perfluoroalkyl groups and forming micelles for use as contrast media)

RN 595568-14-2 CAPLUS
CN Butanoic acid, 4-[[3,5-bis[[bis[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]carbonyl]-2,4,6-triiodophenyl][2-(1,1-dimethylethoxy)-2-oxoethyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L21 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:696881 CAPLUS
DOCUMENT NUMBER: 139:230792
TITLE: Preparation of triiodine aromatics containing perfluoroalkyl groups and forming micelles for use as contrast media
INVENTOR(S): Schaefer, Markus; Raduechel, Bernd; Miklautz, Heribert; Maier, Franz
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072560	A1	20030904	WO 2003-EP887	20030129

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10209018	C1	20031120	DE 2002-10209018	20020228
US 2003236407	A1	20031225	US 2003-375044	20030228

PRIORITY APPLN. INFO.:
DE 2002-10209018 A 20020228
US 2002-363879P P 20020314

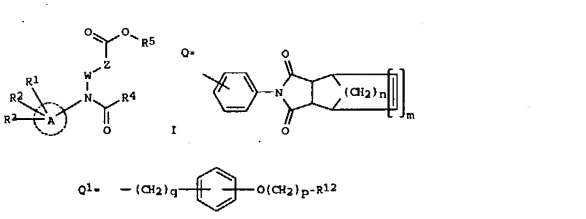
OTHER SOURCE(S): MARPAT 139:230792
GI

L21 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:532636 CAPLUS
DOCUMENT NUMBER: 139:85362
TITLE: Preparation of 3-phenylpropanamide derivatives as antagonists of vascular endothelial growth factor (VEGF) receptor
INVENTOR(S): Saito, Shuji; Suga, Yoichiro; Sato, Masakazu; Shibuya, Masabumi
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055847	A1	20030710	WO 2002-JP13692	20021226

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-396525 A 20011227
OTHER SOURCE(S): MARPAT 139:85362
GI



AB Carboxylic acid amide derivs. represented by the formula (I) [wherein ring A = benzene ring, naphthalene ring, heterocyclic ring containing 1-4 heteroatoms selected from N, O, and S; W = C1-5 alkylene; Z = a single bond, phenylene; R1, R2 = H, halo, C1-5 alkyl, C1-10 alkoxy; R3 = H, halo, C1-12 alkyl, C2-5 alkynyl, trifluoromethyl, acetylenyl, cyano, nitro, -CH2-R6, -Y-R11 [wherein R6 = C1-5 alkylthio, Q (wherein m = 0,1; n = an integer of 0-3), optionally substituted Ph or monocyclic heterocyclyl containing 1-3 heteroatoms selected from N, O, and S; Y = CO, O, S, SO2; R11 = C1-10 alkyl, FCH2, CF2H, CF3, Ph, C1-5 alkylphenyl, C1-5 alkoxyphenyl, C2-8 dialkylamino, cyclic amino]; R4 = Q1 (wherein R12 = H, C1-5 alkoxy,

PhO; q = an integer of 1-5; p = an integer of 10-24); R5 = H, C1-5 alkyl] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit the binding of ligands to VEGF receptor and thereby inhibit neovascularization by inhibiting the VEGF-dependent proliferation of vascular endothelial cell or inhibit increase in vasopermeability and are useful for the treatment of diseases related to VEGF or neovascularization such diabetic retinopathy, chronic rheumatism, solid tumor, cerebral edema or injury related to ischemic reperfusion injury, psoriasis, atherosclerosis, fiber proliferation of rear crystalline lens, angiogenesis glaucoma, ageing yellow spot, thyroid hyperplasia, chronic inflammation, pneumonia, nephrotic syndrome, decrease in immune function against tumor, ascites retention, exudation of endocardium fluid, or retention of pleural effusion. Thus, a suspension of 2.00 g 3-[4-(1-octadecyloxy)phenyl]propionic acid and 3.26 g SOCl2 in 40 mL benzene was refluxed for 1.5 h, evaporated in vacuo to remove the solvent, successively treated with 80 mL CH2Cl2, 0.60 g aniline, and 1.45 g Et3N, and stirred at room temperature for 2 h to give, after workup, 1.0 g N-phenyl-3-[4-(1-octadecyloxy)phenyl]propanamide. The latter intermediate was dissolved in 1 5:1 mixture of THF/DMF (30 mL), treated with 0.12 g NaH (60%) at room temperature, stirred for 30 min, treated dropwise with tert-Bu bromoacetate, and

stirred at room temperature for 14 h to give, after workup and recrystn. from MeOH, N-[3-[4-(1-octadecyloxy)phenyl]propionyl]-N-phenylglycine. N-[2-(2-methylphenyl)phenyl]-N-[3-[4-(1-octadecyloxy)phenyl]propionyl]glycine and N-[2-(5-phenyl-1,3,4-oxadiazol-2-yl)phenyl]-N-[3-[4-(1-octadecyloxy)phenyl]propionyl]glycine at μg/mL inhibited the binding of [125I]-VEGF to NUH3T3 cell expressing Flt-1 VEGF receptor by 61 and 59%, resp.

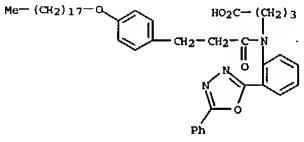
IT 556818-24-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylpropanamide deriva. as antagonists of vascular endothelial growth factor (VEGF) receptor and neovascularization inhibitors for treating related to VEGF or neovascularization)

RN 556818-24-7 CAPLUS

CN Butanoic acid, 4-[[3-[4-(octadecyloxy)phenyl]-1-oxopropyl][2-(5-phenyl-1,3,4-oxadiazol-2-yl)phenyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:422943 CAPLUS

DOCUMENT NUMBER: 137:6177

TITLE: Preparation of phenylbenzimidazoles as osteoclast differentiation induction inhibitors and osteoclast inhibitors

INVENTOR(S): Nakahira, Hiroyuki; Horiuchi, Yoshihiro

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 87 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

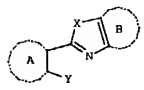
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002161084	A2	20020604	JP 2000-360964	20001128

PRIORITY APPLN. INFO.: JP 2000-360964 20001128

OTHER SOURCE(S): MARPAT 137:6177

GI



AB The compds. I [ring A, ring B = (un)substituted aromatic ring; X = NR0, S, O; R0 = H, lower alkyl; Y = NR1R2, CONR1'R2', C(OH)R1''R2'', (un)substituted (un)saturated 5- to 7-membered heterocycle; R1 = (un)substituted lower alkyl, alkenyl, alkynyl; R2 = organic group excluding lower alkyl; R1R2 may form heterocycle; R1', R2' = (un)substituted lower alkyl; R1'R2' may form heterocycle; R1'', R2'' = (un)substituted lower alkyl] or their pharmaceutically acceptable salts are prepared The compds. are useful for anti-inflammatory agents, antirheumatic agents, and agents for bone regeneration. 2-(5,6-Dichloro-1H-imidazol-2-yl)-N-methylaniline (2.06 g) was reacted with acetyl chloride in pyridine at 25° for 1 h to give 630 mg N-[2-(5,6-dichloro-1H-benzimidazol-2-yl)phenyl]-N-methylacetamide showing 66% inhibition of osteoclast differentiation in vitro.

IT 433297-79-1P 433297-86-0P

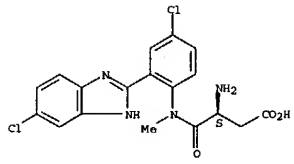
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylbenzimidazoles as osteoclast differentiation induction inhibitors and osteoclast inhibitors)

RN 433297-79-1 CAPLUS

CN Butanoic acid, 3-amino-4-[[4-chloro-2-(5-chloro-1H-benzimidazol-2-yl)phenyl]methylamino]-4-oxo-, (3S)- (9CI) (CA INDEX NAME)

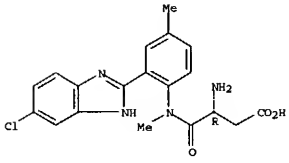
Absolute stereochemistry.



RN 433297-86-0 CAPLUS

CN Butanoic acid, 3-amino-4-[[2-(5-chloro-1H-benzimidazol-2-yl)-4-methylphenyl]methylamino]-4-oxo-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:608717 CAPLUS

DOCUMENT NUMBER: 133:207678

TITLE: Preparation of sulfonamide derivs. as amyloid β production inhibitors useful in treating or preventing diseases related to Aβ

INVENTOR(S): Smith, David W.; Munoz, Benito; Srinivasan, Kumar; Bergstrom, Carl P.; Chaturvedula, Prasad V.; Deshpande, Milind S.; Keavy, Daniel J.; Lau, Wai Yu; Parker, Michael F.; Sloan, Charles P.; Wallace, Owen B.; Wang, Henry Hui

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Bristol-Myers Squibb Company

SOURCE: PCT Int. Appl., 377 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050391	A1	20000831	WO 2000-US4560	20000222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1159263	A1	20011205	EP 2000-910293	20000222
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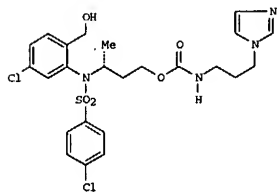
BR 2000008965	A	20020226	BR 2000-8965	20000222
JP 2002537376	T2	20021105	JP 2000-600975	20000222
NZ 514453	A	20030429	NZ 2000-514453	20000222
ZA 2001006646	A	20021113	ZA 2001-6646	20010813
NO 2001004135	A	20010927	NO 2001-4135	20010824

PRIORITY APPLN. INFO.: US 1999-121906P P 19990226
US 1999-122746P P 19990226
US 1999-122748P P 19990226
US 1999-130994P P 19990423
US 1999-130995P A2 19990423
WO 2000-US4560 W 20000222

OTHER SOURCE(S): MARPAT 133:207678

GI



AB Title compds. [(D)(G)CHN(E)SO2(J); D = H, alkyl, heterocycle, halo, alkoxyl, ester, amide; G = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, (CHR1)nO(CHR2)mCONR3R4, heterocycle, aryl, amine, amide, ester, ether, carbamate; D-G = cyclic; n = 1, 2, 3, 4; m = 0, 1, 2, 3, 4; R1, R2, R3, R4 are independently H, alkyl; R3-R4 = cyclic; E = H, alkyl, alkenyl, alkynyl, heterocycle, aryl, alkoxyl, amide, sulfonyl, sulfonamidyl, sulfide; J = alkyl, alkenyl, alkynyl, aryl, heterocycle, polycyclic; J-E = cyclic], pharmaceutically acceptable salts, and composition comprising title compds. are prepared Title compds. can act to modulate production of amyloid β protein (APP751, APP695wt, APP670/671, APP670/671/717, sAPP, α-sAPP, β-sAPP) and are useful in the prevention or treatment of a variety of diseases; such diseases are amyloid angiopathy, cerebral amyloid angiopathy, systemic amyloidosis, Alzheimer's disease, hereditary cerebral hemorrhage with amyloidosis of the Dutch type, inclusion body myositis, and Down's syndrome. Thus, the title compound I was prepared and tested.

IT 290328-08-4P

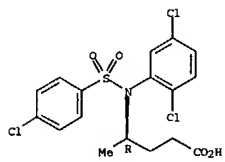
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of sulfonamide derivs. as amyloid β production inhibitors useful in treating or preventing diseases related to Aβ)

RN 290328-08-4 CAPLUS

CN Pentanoic acid, 4-[[(4-chlorophenyl)sulfonyl](2,5-dichlorophenyl)amino]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:277967 CAPLUS

DOCUMENT NUMBER: 132:293781

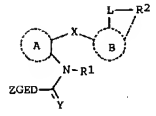
TITLE: Preparation process of 1,5-benzodiazepines as medicine
INVENTOR(S): Oi, Satoru; Suzuki, Nobuhiro; Matsumoto, Takahiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023428	A1	20000427	WO 1999-JP5754	19991019
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961245	A1	20000508	AU 1999-61245	19991019
JP 2000191648	A2	20000711	JP 1999-297130	19991019
EP 1123928	A1	20010816	EP 1999-947961	19991019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2003149027	A1	20030807	US 2001-894105	20010628

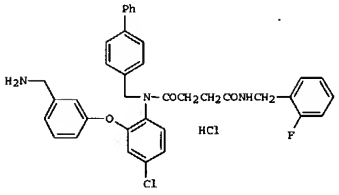
PRIORITY APPLN. INFO.: JP 1998-298941 A 19981020
WO 1999-JP5754 W 19991019
OTHER SOURCE(S): MARPAT 132:293781
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; wherein ring B represents an optionally substituted cyclic hydrocarbon group, Z represents hydrogen or an optionally substituted cyclic group; R1 represents hydrogen, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group, or acyl; R2 represents optionally substituted amino; D represents a bond or a divalent group; E represents a bond, CO, CON(Ra), COO, N(Ra)CON(Rb), N(Ra)CON(Rb), N(Ra)SO2, N(Ra), S, SO, SO2; Ra and Rb each independently represents hydrogen or an optionally substituted hydrocarbon group; L represents a bond or a divalent group; A represents hydrogen or a substituent; X and Y each represents hydrogen or an independent substituent; dotted bond indicates that R2 may be bonded to an atom on the ring B to form a ring] and salts are prepared (preparation given) from RaNHGZ and tested as medicine. Thus, the title compound II was prepared
IT 264916-45-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation process of 1,5-benzodiazepines as medicine)
RN 264916-45-2 CAPLUS
CN Butanoic acid, 4-[[[1,1'-biphenyl]-4-ylmethyl][2-[[4-[1-[[(1,1-dimethylethoxy)carbonyl]amino]-1-methylethyl]phenyl]amino]phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

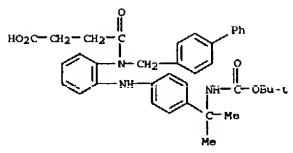


I



II

AB Title compds. [I; wherein A is an optionally substituted aromatic ring; B is an optionally substituted cyclic hydrocarbon oxy group; Z is an optionally substituted cyclic hydrocarbon group; R1 is hydrogen, optionally substituted hydrocarbyl, an optionally substituted heterocyclic group, or acyl; R2 is optionally substituted amino; D is a free valency or a divalent group; E is CO, CON(Ra), COO, N(Ra)CON(Rb), N(Ra)SO2, N(Ra), O, S, SO, SO2; G is a free valency or a divalent group; L is a free valency, an optionally substituted divalent hydrocarbon group which may be interrupted by O or S, or the like; X is oxygen, optionally oxidized sulfur, optionally substituted nitrogen, or an optionally substituted divalent hydrocarbon group; Y is two hydrogen atoms, oxygen, or sulfur; and the dotted line indicates that R2 and an atom on ring B may together form a ring] and salts are prepared and tested as somatostatin receptor regulators. Thus, the title compound II was prepared in treatment or prevention of diabetes and obesity.
IT 266369-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aromatic amine deriva. and agents containing the same as somatostatin receptor regulators)
RN 266369-60-2 CAPLUS
CN Butanoic acid, 4-[[[1,1'-biphenyl]-4-ylcarbonyl][2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]amino]phenyl]amino]- (9CI) (CA INDEX NAME)

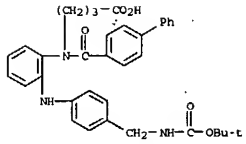


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:277959 CAPLUS
DOCUMENT NUMBER: 132:321662
TITLE: Preparation of aromatic amine derivatives and agents containing the same
INVENTOR(S): Oi, Satoru; Suzuki, Nobuhiro; Aso, Kazuyoshi; Banno, Yoshihiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 309 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023420	A1	20000427	WO 1999-JP5755	19991019
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961246	A1	20000508	AU 1999-61246	19991019
JP 2000191615	A2	20000711	JP 1999-297129	19991019
EP 1123918	A1	20010816	EP 1999-947962	19991019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1998-298940 A 19981020
WO 1999-JP5755 W 19991019
OTHER SOURCE(S): MARPAT 132:321662
GI

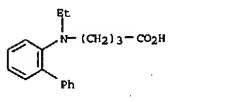


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:761092 CAPLUS
DOCUMENT NUMBER: 132:17099
TITLE: Silver halide photographic material having enhanced sensitivity
INVENTOR(S): Gould, Ian R.; Farid, Samir; Godleski, Stephen A.; Lenhard, Jerome R.; Muenter, Annabel A.; Zielinski, Paul A.
PATENT ASSIGNEE(S): Eastman Kodak Company, USA
SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 900,956.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5994051	A	19991130	US 1998-118536	19980717

PRIORITY APPLN. INFO.: US 1997-900956 A2 19970725
AB A photog. material comprises at least one silver halide emulsion layer in which the silver halide is sensitized with a compound of the formula XH, wherein X is an electron donor moiety to which a base, B-, is covalently linked and H is a leaving hydrogen atom and wherein (1) XH has an oxidation potential between 0 and about 1.4 V and (2) the oxidized form of XH undergoes deprotonation reaction with the base B- to give the radical X. and the protonated base BH. In a preferred embodiment of the invention, the radical X. has an oxidation potential less than -0.7V.
IT 220065-26-9
RL: TEM (Technical or engineered material use); USES (Uses)
(silver halide photog. emulsions sensitized with)
RN 220065-26-9 CAPLUS
CN Butanoic acid, 4-([1,1'-biphenyl]-2-ylethylamino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:96448 CAPLUS
DOCUMENT NUMBER: 130:146158
TITLE: Silver halide photographic emulsion layer having enhanced sensitivity
INVENTOR(S): Gould, Ian Robert; Farid, Samir Yacoub; Godleski, Stephen A.; Lenhard, Jerome Robert; Muenter, Annabel Adams; Zielinski, Paul Anthony
PATENT ASSIGNEE(S): Eastman Kodak Company, USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905570	A1	19990204	WO 1998-US15002	19980720
W: JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 929840	A1	19990721	EP 1998-936924	19980720
EP 929840	B1	20040218		
R: DE, FR, GB				
JP 2001500996	T2	20010123	JP 1999-509953	19980720

PRIORITY APPLN. INFO.: US 1997-900956 A 19970725
WO 1998-US15002 W 19980720

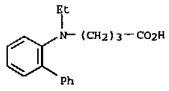
OTHER SOURCE(S): MARPAT 130:146158

AB This invention provides a photog. element comprising at least one silver halide emulsion layer in which the silver halide is sensitized with a compound of the formula XH, wherein X is an electron-donor moiety to which a base, B-, is covalently linked and H is a leaving hydrogen atom and wherein XH has an oxidation potential between 0 and about 1.4 V and the oxidized form of X-H undergoes deprotonation reaction with the base B- to give the radical X. and the protonated base BH. In a preferred embodiment of the invention, the radical X. has an oxidation potential <-0.7 V.

IT 220065-26-9
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. supersensitizing comps. containing cyanine dyes and)

RN 220065-26-9 CAPLUS

CN Butanoic acid, 4-([1,1'-biphenyl]-2-ylethylamino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

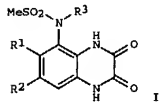
L21 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:600942 CAPLUS
DOCUMENT NUMBER: 127:262701
TITLE: Preparation of 5-(methylsulfonylamino)quinoxalin-2,3-diones useful as anxiolytics, anticonvulsants, analgesics, and neuroprotectants.
INVENTOR(S): Mowbray, Charles Eric; Stobie, Alan
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Research and Development Company, N.V./S.A.
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 792874	A1	19970903	EP 1997-200318	19970205
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
WO 9731902	A1	19970904	WO 1997-EP937	19970225
W: AU, BG, BR, CN, CZ, HU, IL, IS, KR, LK, NO, NZ, PL, SG, SK, TR, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9718774	A1	19970916	AU 1997-18774	19970225
CA 2198687	AA	19970901	CA 1997-2198687	19970227
JP 10001474	A2	19980106	JP 1997-48149	19970303
US 5863917	A	19990126	US 1997-938230	19970926

PRIORITY APPLN. INFO.: GB 1996-4400 19960301
WO 1997-EP937 19970225

OTHER SOURCE(S): MARPAT 127:262701

GI



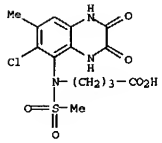
I

AB Title compds. [I; R1, R2 = Cl, C1-6 alkyl; R3 = XCO2R4, XCONHSO2R5, YNHSO2R5, XR6; R4 = H or C1-6 alkyl (optionally substituted by aryl or heterocyclyl); R5 = CF3, heterocyclyl, C1-6 alkyl (optionally substituted by aryl or heterocyclyl); R6 = acidic heterocycle; X = C1-6 alkyl diradical (optionally substituted by aryl or heterocyclyl); Y = C2-6 alkyl diradical (optionally substituted by aryl or heterocyclyl); provided that when R1 and R2 each = Cl, then R3 = CH2CO2H, CH2CO2CH3, CH2CH2NHSO2CF3, 5-tetrazolylmethyl], were prepared as NMDA antagonists (no data). Thus, N-(6,7-dichloro-2,3-dimethoxyquinoxalin-5-yl)-N-[2-(N'-methylsulfonyl)aminoethyl]methanesulfonamide (preparation given) was refluxed with 2N HCl in dioxane for 18 h to give 66% N-(1,4-dihydro-6,7-dichloro-2,3-dioxoquinoxalin-5-yl)-N-[2-(N'-methanesulfonyl)aminoethyl]methanesulfonamide.

IT 195966-08-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-(methylsulfonylamino)quinoxalin-2,3-diones useful as anxiolytics, anticonvulsants, analgesics, and neuroprotectants)

RN 195966-08-6 CAPLUS

CN Butanoic acid, 4-[(6-chloro-1,2,3,4-tetrahydro-7-methyl-2,3-dioxo-5-quinoxalinyl)(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



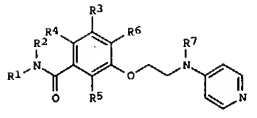
L21 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:503143 CAPLUS
DOCUMENT NUMBER: 127:121643
TITLE: Preparation of 5-[2-(pyridin-4-ylamino)ethoxy]benzamides as thrombin inhibitors
INVENTOR(S): Watson, Nigel Stephen; Pass, Martin; Patel, Vipulkumar
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Watson, Nigel Stephen; Pass, Martin; Patel, Vipulkumar
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722589	A1	19970626	WO 1996-EP5743	19961213
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9713030	A1	19970714	AU 1997-13030	19961213
JP 2000503634	T2	20000328	JP 1997-522517	19961213
EP 1021411	A1	20000726	EP 1996-944604	19961213
EP 1021411	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 233733	E	20030315	AT 1996-944604	19961213
ES 2196197	T3	20031216	ES 1996-944604	19961213
US 6326386	B1	20011204	US 2000-678610	20001004

PRIORITY APPLN. INFO.: GB 1995-25620 A 19951215
WO 1996-EP5743 W 19961213
US 1998-77885 B1 19980612

OTHER SOURCE(S): MARPAT 127:121643

GI



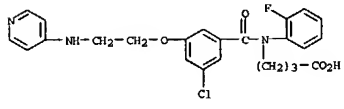
I

AB The title compds. [I; R1, R2 = XR8 (wherein X = a bond, C1-6 alkylene, C3-6 alkenylene, etc., R8 = H, C3-7 cycloalkyl, aryl, etc.); R1R2 = (un)substituted C3-7 heterocycloalkyl, heterocycloalkenyl; R3 = H, C1-3 alkyl, halo, C1-2 alkoxy; R4-R6 = H, halo; R7 = H, C1-6 alkyl] and their salts, useful as thrombin inhibitors, were prepared and formulated. Thus, reaction of 3-methyl-5-[2-(pyridin-4-ylamino)ethoxy]benzoic acid.CF3COOH with N-methylcyclohexylamine in the presence of HOBt, TBTU and DIPEA in DMF afforded I.CF3COOH [R1 = Me; R2 = cyclohexyl; R3 = Me; R4-R7 = H] which showed IC50 of 8 nM.

IT 192806-23-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-[2-(pyridin-4-ylamino)ethoxy]benzamides as thrombin inhibitors)

RN 192806-23-8 CAPLUS

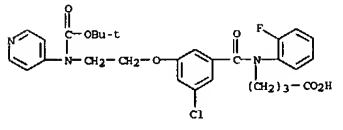
CN Butanoic acid, 4-[[3-chloro-5-[2-(4-pyridinylamino)ethoxy]benzoyl](2-fluorophenyl)amino]- (9CI) (CA INDEX NAME)



IT 192810-61-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-[2-(pyridin-4-ylamino)ethoxy]benzamides as thrombin inhibitors)

RN 192810-61-0 CAPLUS

CN Butanoic acid, 4-[[3-chloro-5-[2-[[(1,1-dimethylethoxy)carbonyl]-4-pyridinylamino]ethoxy]benzoyl](2-fluorophenyl)amino]- (9CI) (CA INDEX NAME)



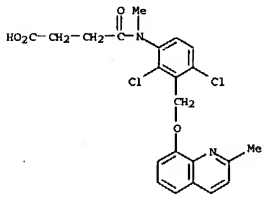
L21 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:476652 CAPLUS
DOCUMENT NUMBER: 125:142578
TITLE: Pyridopyrimidones, quinolines and fused N-heterocycles as bradykinin antagonists.
INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613485	A1	19960509	WO 1995-JP2192	19951025
W: AU, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2203659	AA	19960509	CA 1995-2203659	19951025
AU 9537536	A1	19960523	AU 1995-37536	19951025
AU 705883	B2	19990603		
EP 807105	A1	19971119	EP 1995-935563	19951025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
CN 1168667	A	19971224	CN 1995-196602	19951025
JP 10507764	T2	19980728	JP 1995-514166	19951025
US 5994368	A	19991130	US 1997-809416	19970425
PRIORITY APPLN. INFO.:			GB 1994-21684	19941027
			GB 1995-12339	19950616
			WO 1995-JP2192	19951025

OTHER SOURCE(S): MARPAT 125:142578
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aroyl, carbamoyl, -(AA)COQR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group], and pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-methylquinoline with (R)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [prepns. given] using EDC and HOBt in DMF gave title compound II. The similarly prepared title compound III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10-6 M.
IT 179626-34-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)
RN 179626-34-7 CAPLUS
CN Butanoic acid, 4-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-4-oxo- (9CI) (CA INDEX NAME)

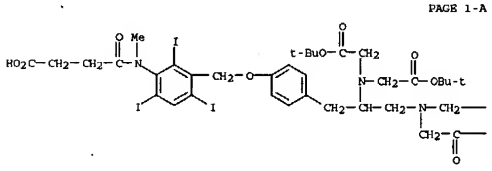


L21 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:772691 CAPLUS
DOCUMENT NUMBER: 123:186924
TITLE: Haloaryl-substituted metal complexes in a pharmaceutical medium, their use in diagnostics, and their preparation
INVENTOR(S): Krause, Werner; Maier, Franz Karl; Press, Wolf-Ruediger; Schuhmann-Giampieri, Gabriele D.; Bauer, Michael; Schmitt-Willich, Heribert
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

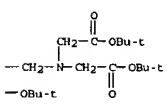
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4341724	A1	19950608	DE 1993-4341724	19931203
WO 9515306	A1	19950608	WO 1994-EP3919	19941126
W: AU, CA, CN, HU, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2177977	AA	19950608	CA 1994-2177977	19941126
AU 9510675	A1	19950619	AU 1995-10675	19941126
AU 687477	B2	19980226		
EP 731784	A1	19960918	EP 1995-901440	19941126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1136805	A	19961127	CN 1994-194372	19941126
HU 74389	A2	19961230	HU 1996-1478	19941126
JP 09506347	T2	19970624	JP 1994-515384	19941126
IL 111817	A1	19981227	IL 1994-111817	19941129
ZA 9409604	A	19950815	ZA 1994-9604	19941202
NO 9602243	A	19960801	NO 1996-2243	19960531
PRIORITY APPLN. INFO.:			DE 1993-4341724	19931203
			WO 1994-EP3919	19941126

OTHER SOURCE(S): MARPAT 123:186924
AB Polyaminopolycarboxylic acids and their transition metal, Group IIA, Group IIIA and Group IVA metal complexes, in particular Gd complexes were prepared These complexes can be used in NMR and x-ray diagnostics.
IT 167270-79-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(for preparation of polyaminopolycarboxylic acids)
RN 167270-79-3 CAPLUS
CN 3-Oxa-6,9,12-triazatetradecan-14-oic acid, 7-[[4-[[3-[(3-carboxy-1-oxopropyl)methylamino]-2,4,6-triiodophenyl]methoxy]phenyl]methyl]-6,9,12-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-2,2-dimethyl-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

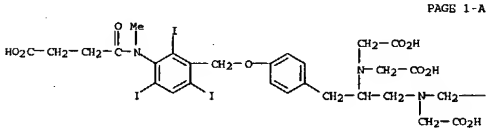


PAGE 1-B

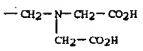


IT 167270-75-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and complexation with gadolinium)
RN 167270-75-9 CAPLUS
CN Butanoic acid, 4-[[3-[[4-[2-[bis(carboxymethyl)amino]-3-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]propyl]phenoxy]methyl]-2,4,6-triiodophenyl]methylamino]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

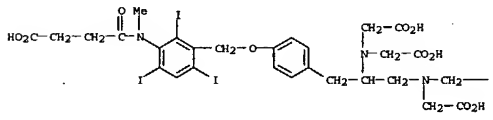


PAGE 1-B

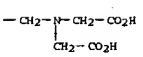


IT 167270-75-9DP, gadolinium complexes
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use as imaging agents)
RN 167270-75-9 CAPLUS
CN Butanoic acid, 4-[[3-[[4-[2-[bis(carboxymethyl)amino]-3-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]propyl]phenoxy]methyl]-2,4,6-triiodophenyl]methylamino]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

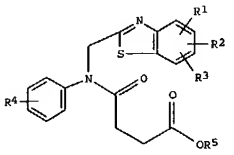


L21 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:498291 CAPLUS
DOCUMENT NUMBER: 122:239686
TITLE: Preparation of benzothiazoles as antidiabetics
INVENTOR(S): Hase, Takema; Kumonaka, Takahiro; Shimizu, Chikako; Hosono, Hiroshi; Aotsuka, Tomoji; Nakamura, Yoshiyuki; Matsui, Tetsuo; Ishikawa, Hiromichi
PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan; Green Cross Corp.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422845	A1	19941013	WO 1994-JP490	19940325
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 06279423	A2	19941004	JP 1993-90550	19930326
JP 3126541	B2	20010122		
CA 2136743	AA	19941013	CA 1994-2136743	19940325
AU 9462914	A1	19941024	AU 1994-62914	19940325
AU 676894	B2	19970327		
EP 647636	A1	19950412	EP 1994-910543	19940325
EP 647636	B1	19990609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1108456	A	19950913	CN 1994-190237	19940325
AT 181067	E	19990615	AT 1994-910543	19940325
ES 2132394	T3	19990816	ES 1994-910543	19940325
US 5532257	A	19960702	US 1994-343489	19941125

PRIORITY APPLN. INFO.: JP 1993-90550 A 19930326
WO 1994-JP490 W 19940325

OTHER SOURCE(S): CASREACT 122:239686; MARPAT 122:239686
GI



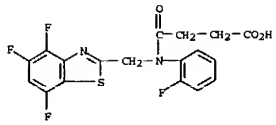
I

AB The title compds. [I; R1 represents halogen; R2 and R3 represent each independently hydrogen or halogen; R4 represents hydrogen, halogen, lower alkyl, alkoxy or alkylmercapto; and R5 represents hydrogen or lower alkyl] and their pharmaceutically acceptable salts are prepared E.g., a mixture of N-(4-chlorophenyl)[(4,5,7-trifluorobenzothiazol-2-yl)methyl]amine, methylsuccinoyl chloride, Et3N, and CH2Cl2 was stirred for 1.5 h to give I [R1, R2, R3 = 4,5,7-F, R4 = 4-Cl, R5 = Me]. I [R1, R2 = 4,5-Cl, R3 = H, R4 = 4-Cl, R5 = H] had an IC50 of 1.3+10-8 M against aldose reductase compared with 2.1+10-8 M for the known inhibitor epalrestat. I are inhibitors of aldose reductase are safe to use. Therefore they are useful as remedies for treating complications of diabetes, such as defective union of injured cornea, cataract, neurosis, retinopathy and nephropathy, in particular, cataract and neurosis. Pharmaceutical compns. containing I are described.

IT **162367-02-4P 162367-03-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazoles as antidiabetics)

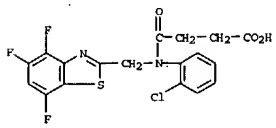
RN 162367-02-4 CAPLUS

CN Butanoic acid, 4-[(2-fluorophenyl)[(4,5,7-trifluoro-2-benzothiazolyl)methyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 162367-03-5 CAPLUS

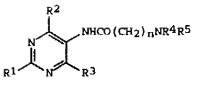
CN Butanoic acid, 4-[(2-chlorophenyl)[(4,5,7-trifluoro-2-benzothiazolyl)methyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



L21 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:409416 CAPLUS
DOCUMENT NUMBER: 121:9416
TITLE: Preparation of pyrimidine derivatives as ACAT inhibitors and pharmaceutical compositions containing them
INVENTOR(S): Yanagibashi, Kazutoshi; Mizuguchi, Kiyoshi; Onishi, Shuhei; Murakami, Kimihiro
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 561175	A1	19930922	EP 1993-102668	19930219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 05320143	A2	19931203	JP 1993-23025	19930210
US 5397781	A	19950314	US 1993-16286	19930211
AU 9333888	A1	19930923	AU 1993-33888	19930301
AU 659279	B2	19950511		
CA 2091214	AA	19930919	CA 1993-2091214	19930308

PRIORITY APPLN. INFO.: JP 1992-62380 19920318
OTHER SOURCE(S): MARPAT 121:9416
GI



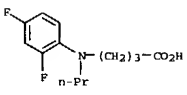
I

AB Title compds. I (R1 = H, C1-4 alkyl, R7R6N, R8S, R8O where R6, R7, R8 = H, C1-4 alkyl; R2 = H, R10R9N, R11S, R11O, C1-6 alkyl, halo were R9, R10, R11 = H, PH, PhCH2, C1-10 alkyl; R3 = H, substituted N, O, S, C1-6 alkyl, halo; R4, R5 = H, C1-12 alkyl, PhCH2, C3-10 cycloalkyl, (substituted) Ph, R4R5N = phenylpierazinyl, tetrahydroquinolinyl; n = 1-6), salt, solvate thereof, ACAT (acyl-CoA cholesterol acyltransferase) inhibition useful in treatment of arteriosclerosis or hyperlipidemia, are prepared To 5-amino-2-methyl-4-mercapto-6-(N-phenyl-N-propylamino)pyrimidine (preparation given) and 2-(N-phenyl-N-propylamino)acetic acid (preparation given) in CH2Cl2, was added N,N'-dicyclohexylcarbodiimide to give title compds. I (R1 = Me, R2 = PrPhN, R3 = HS, R4 = Ph, R5 = Pr, n = 1). A similar prepared compound I (R1 = H, R2 = EtO, R3 = Bu2N, R4 = Ph, R5 - Pr, n = 4) inhibited liver microsomal ACAT activity in rat liver with IC50 = 0.0088 μM. Pharmaceutical formulations comprising I are given.

IT **155082-48-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cholesterol acyltransferase inhibitors)

RN 155082-48-7 CAPLUS

CN Butanoic acid, 4-[(2,4-difluorophenyl)propylamino]- (9CI) (CA INDEX NAME)



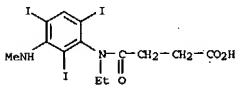
L21 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:473456 CAPLUS
DOCUMENT NUMBER: 95:73456
TITLE: Protective effect of anionic cholecystographic agents against phalloidin on isolated hepatocytes by competitive inhibition of the phallotoxin uptake. Comparison of the influence on the inward transport of 3H-demethylphalloin and of 14C-cholate
AUTHOR(S): Frimmer, M.; Petzinger, E.; Ziegler, K.
CORPORATE SOURCE: Inst. Pharmakol. Toxikol., Justus-Liebig-Univ. Giessen, Giessen, D-6300, Fed. Rep. Ger.
SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1980), 313(1), 85-9
CODEN: NSAPCC; ISSN: 0028-1298
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Several anionic substances used for cholecystog. inhibit the the development of protrusions in isolated hepatocytes in response to phalloidin [17466-45-4]. Drugs such as iopodate [5587-89-3] were equally effective with those of the iodipamide [606-17-7] type. The protective effect probably results from a competitive inhibition of phallotoxin uptake as shown for iopodate. Cholecystog. agents similarly inhibit the inward transport of cholic acid [81-25-4] in a competitive manner. The inhibition of the phallotoxin response is inversely correlated with the uptake of tritiated demethylphalloin [25030-32-4] (r = 0.94) and with the inward transport of cholate (r = 0.84) at various inhibiting concns. of iopodate.

IT **37863-70-0**
RL: BIOL (Biological study)
(phalloidin metabolism and toxicity in hepatocyte response to)

RN 37863-70-0 CAPLUS

CN Butanoic acid, 4-[ethyl[2,4,6-triiodo-3-(methylamino)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



L21 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:461783 CAPLUS
DOCUMENT NUMBER: 95:61783
TITLE: Acylhydrocarbylaminoalkanoic acids, compositions and uses
INVENTOR(S): Krastinat, Walter
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.
SOURCE: U.S., 40 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4243678	A	19810106	US 1978-969701	19781215
AT 797	E	19820415	AT 1979-101911	19790612
AT 1093	E	19820615	AT 1979-101912	19790612

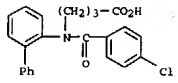
PRIORITY APPLN. INFO.: LU 1977-78865 19771230
CH 1978-6504 19780614
CH 1978-6505 19780614
EP 1979-101911 19790612
EP 1979-101912 19790612

AB Et 4-bromobutyrate undergoes aminolysis with 1,1,3,3-tetramethylbutylamine to give [Et 4-(1,1,3,3-tetramethylbutylamino)butyrate hydrobromide] which is treated with Et(Me2CH)2N/p-ClC6H4COCl to give Et N-(p-chlorobenzoyl)-4-[(1,1,3,3-tetramethylbutyl)amino]butyrate (I). Saponification of I yields the corresponding acid (II). II exerts a marked influence on the pancreatic secretions of narcotized rats and exerts an antihepatotoxic activity on wakeful rats. Other aminoalkanoic acids were prepared and tested for biol. activity.

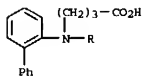
IT **74296-86-9P 74296-88-1P 74296-90-5P**
74296-91-6P 74296-93-8P 74296-95-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

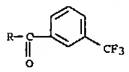
RN 74296-86-9 CAPLUS

CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl(4-chlorobenzoyl)amino]- (9CI) (CA INDEX NAME)

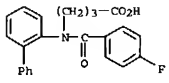


RN 74296-88-1 CAPLUS
CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl[3-(trifluoromethyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

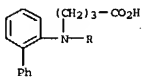


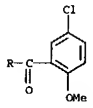


RN 74296-90-5 CAPLUS
CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl(4-fluorobenzoyl)amino]- (9CI) (CA INDEX NAME)

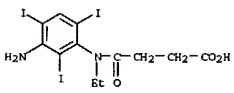


RN 74296-91-6 CAPLUS
CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl(5-chloro-2-methoxybenzoyl)amino]- (9CI) (CA INDEX NAME)





IT 1634-73-7P
RL: IMF (Industrial manufacture); PREP (Preparation)
(manufacture of)
RN 1634-73-7 CAPLUS
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo- (9CI) (CA INDEX NAME)

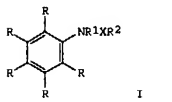


L21 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:169431 CAPLUS
DOCUMENT NUMBER: 94:169431
TITLE: Synergetic herbicide composition containing an aromatic amine compound
INVENTOR(S): Clayton, Anthony Broxholme; Lehman, Stanley Keith
PATENT ASSIGNEE(S): Hercules Inc., USA
SOURCE: Rom., 19 pp.
CODEN: RUXXA3
DOCUMENT TYPE: Patent
LANGUAGE: Romanian
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

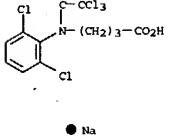
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RO 69046	P	19801030	RO 1973-86865	19730310
CA 1013960	A1	19770719	CA 1973-160333	19730102
CA 1257608	A1	19890718	CA 1973-160332	19730102
ZA 7300316	A	19731031	ZA 1973-316	19730116
AU 7351831	A1	19740808	AU 1973-51831	19730205
DK 140082	B	19791119	DK 1973-725	19730209
DK 140082	C	19791119		
ES 411527	A3	19760101	ES 1973-411527	19730212
JP 49000232	A2	19740105	JP 1973-20314	19730221
BE 796263	A1	19730702	BE 1973-128358	19730305
GB 1417273	A	19751210	GB 1973-10971	19730307
FR 2176075	A1	19731026	FR 1973-9209	19730308
FR 2176075	B1	19790511		
NL 7303363	A	19730912	NL 1973-3363	19730309
NL 178248	B	19850916		
NL 178248	C	19860217		
IT 981287	A	19741010	IT 1973-21429	19730309
IT 981288	A	19741010	IT 1973-21430	19730309
CH 578830	A	19760831	CH 1973-3525	19730309
AT 7302088	A	19770215	AT 1973-2088	19730309
AT 339284	B	19771010		
HU 170006	P	19770328	HU 1973-HE628	19730309
CH 602594	A	19780731	CH 1975-7022	19730309
SU 1001847	A3	19830228	SU 1973-1894761	19730309
JP 48099341	A2	19731215	JP 1973-28471	19730310
PL 94343	P	19770730	PL 1973-161187	19730310
PL 100047	P	19780831	PL 1973-191942	19730310
PL 101581	P	19790131	PL 1973-201129	19730310
PL 101587	P	19790131	PL 1973-201130	19730310
RO 68549	P	19810924	RO 1973-74131	19730310
RO 69047	P	19820510	RO 1973-86866	19730310
SE 411206	B	19750212	SE 1975-1572	19750212
SE 411206	C	19800327		
SE 7510667	A	19750923	SE 1975-10667	19750923
AT 349827	B	19790212	AT 1975-8102	19751023
AT 358322	B	19800910	AT 1978-3708	19780522
AT 7803708	A	19800115		
AT 7803707	A	19800915	AT 1978-3707	19780522
AT 362185	B	19810427		
AT 8003146	A	19801115	AT 1980-3146	19800613
AT 362958	B	19810625		
AT 8003147	A	19801115	AT 1980-3147	19800613
AT 362959	B	19810625		

PRIORITY APPLN. INFO.:
US 1972-233817 19720310
US 1972-233818 19720310
AT 1973-2088 19730309
CH 1973-3525 19730309

GI



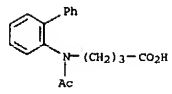
AB Synergistic herbicidal compns are given, containing the anilines I (R = H, halo, NO2, trihalomethyl, C1-7 alkyl or alkoxy; R1 = H or haloacetyl; X = alkylene or alkylidene; R2 = CO2H, CONH2, substituted amide, alkoxy carbonyl, etc.) and pyrazon [1698-60-8]. Thus, a composition containing N-chloroacetyl-N-(2,6-diethylphenyl)glycine Et ester [38727-55-8] (2.2 kg/ha) and 4.4 kg pyrazon/ha, applied postemergence, totally controlled Chenopodium and other weeds, with no phytotoxicity to sugar beet, whereas the components by themselves were less active.
IT 77311-16-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and synergistic herbicidal activity of)
RN 77311-16-1 CAPLUS
CN Butanoic acid, 4-[(2,6-dichlorophenyl)(trichloroacetyl)amino]-, sodium salt (9CI) (CA INDEX NAME)



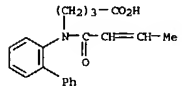
● Na

L21 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:447197 CAPLUS
DOCUMENT NUMBER: 93:47197
TITLE: Substituted amino acids
INVENTOR(S): Krastinat, Walter; Riedel, Richard; Wolf, Horst

RN 74296-93-8 CAPLUS
CN Butanoic acid, 4-(acetyl[1,1'-biphenyl]-2-ylamino)- (9CI) (CA INDEX NAME)



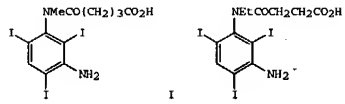
RN 74296-95-0 CAPLUS
CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl(1-oxo-2-butenyl)amino]- (9CI) (CA INDEX NAME)



L21 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:424569 CAPLUS
DOCUMENT NUMBER: 95:24569
TITLE: N-Methyl-N-(2,4,6-triiodo-3-aminophenyl)glutaramic acid and similar compounds
INVENTOR(S): Dierbach, Kurt
PATENT ASSIGNEE(S): Ger. Dem. Rep.
SOURCE: Ger. (East), 18 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 145061	Z	19801119	DD 1979-214555	19790724
DD 145061	B1	19880727		

PRIORITY APPLN. INFO.: DD 1979-214555 19790724
GI



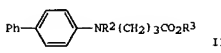
AB Title compds. were prepared Thus, reduction over Raney Ni of 3-O2NC6H4NHCO(CH2)3CO2H gave the amino analog, which was iodinated in two stages with ICl to give 2,4,6,3-I3(H2N)C6HNHCO(CH2)3CO2H, which was purified via the Me ester, then saponified and methylated to give I. Also prepared was, e.g., II.

PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 65 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2923731	A1	19800103	DE 1979-2923731	19790612
BE 876933	A1	19791212	BE 1979-46861	19790612
DK 7902449	A	19791215	DK 1979-2449	19790612
AU 7947978	A1	19791220	AU 1979-47978	19790612
AU 525423	B2	19821104		
JP 54163558	A2	19791226	JP 1979-73150	19790612
EP 6218	A1	19800109	EP 1979-101912	19790612
EP 6218	B1	19820526		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
GB 2024813	A	19800116	GB 1979-20409	19790612
FR 2432501	A1	19800229	FR 1979-14946	19790612
ES 481492	A1	19800301	ES 1979-481492	19790612
AT 1093	E	19820615	AT 1979-101912	19790612
ZA 7902943	A	19800625	ZA 1979-2943	19790613
CA 1153387	A1	19830906	CA 1979-329666	19790613

PRIORITY APPLN. INFO.: CH 1978-6504 19780614
EP 1979-101912 19790612

GI

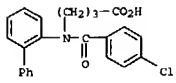


II

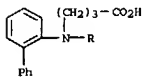
AB RCONR1CnH2nCO2H [I; R = aliphatic or alicyclic hydrocarbon residue, (un)substituted Ph; R1 = (un)substituted and/or hydrogenated biphenylyl; n = 3-5] were prepared as pharmacol.-active agents (e.g., ulcer inhibitors or antihepatotoxic agents). Thus, Br(CH2)3CO2Et was treated with 2-aminobiphenyl in the presence of EtN(CHMe2)2 for 3 h at 150° to give 63.7% γ-aminobutyrate II (R2 = H; R3 = Et), which was acylated with p-ClC6H4COCl at room temperature to give 75.6% II (R2 = p-ClC6H4CO, R3 = Et), which was saponified to give 72.1% II (R2 = p-ClC6H4CO, R3 = H). Data are given for the ulcer-inhibiting and antihepatotoxic activities of I in rats as well as the effects of I on gall and pancreatic secretions in rats.

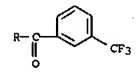
IT **74296-86-9P 74296-88-1P 74296-90-5P**
74296-91-6P 74296-93-8P 74296-95-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and pharmacol. activity of)

RN 74296-86-9 CAPLUS
CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl(4-chlorobenzoyl)amino]- (9CI) (CA INDEX NAME)

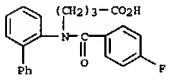


RN 74296-88-1 CAPLUS
CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl[3-(trifluoromethyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

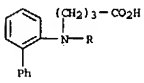


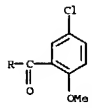


RN 74296-90-5 CAPLUS
CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl(4-fluorobenzoyl)amino]- (9CI) (CA INDEX NAME)

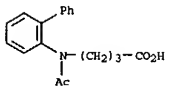


RN 74296-91-6 CAPLUS
CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl(5-chloro-2-methoxybenzoyl)amino]- (9CI) (CA INDEX NAME)

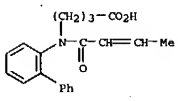




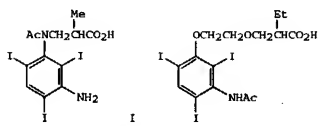
RN 74296-93-8 CAPLUS
CN Butanoic acid, 4-(acetyl[1,1'-biphenyl]-2-ylamino)- (9CI) (CA INDEX NAME)



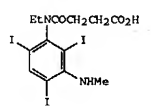
RN 74296-95-0 CAPLUS
CN Butanoic acid, 4-[[1,1'-biphenyl]-2-yl(1-oxo-2-butenyl)amino]- (9CI) (CA INDEX NAME)



L21 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:197351 CAPLUS
DOCUMENT NUMBER: 90:197351
TITLE: Biliary excretion of three cholecystographic contrast agents in dogs: iocetamic acid, iopronic acid and iosumetic acid
AUTHOR(S): Barnhart, James L.; Berk, Robert N.; Czuleger, Peter C.
CORPORATE SOURCE: Sch. Med., Univ. California, La Jolla, CA, USA
SOURCE: Investigative Radiology (1979), 14(1), 79-87
CODEN: INVRAV; ISSN: 0020-9996
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



II

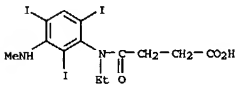


III

AB The rates of biliary and urinary excretion of Cholebrine (iocetamic acid)(I) [16034-77-8], Oravue (iopronic acid)(II) [37723-78-7], and iosumetic acid (III) [37863-70-0] were compared in dogs with chronic bile-fistulas during step-wise increasing constant infusions of each contrast agent. The calculated maximum rates of biliary excretion (Emax) of I, II, and III were not significantly different. The choleretic effect of II (17.2 μL/μmol) was approx. twice that of I (9.7 μL/μ mol or III (8.6 μL/μ mol). Thus, the maximum concns. of I (59.6 μmol/mL) and III (61.8 μmol/mL) in bile during infusion of taurocholate at 0.5 μmol/min/kg were significantly higher than that of II (36.3 μmol/mL). Only a fraction of the injected contrast media was cleared by the kidneys (0.34, 0.43, and 0.14 mL/min/kg for I, II, and III, resp.). Biotransformation of each contrast medium found in bile was extensive, with only a small fraction of total contrast medium remaining as unchanged parent compound The uronic acid content suggested that, in bile, the glucuronide was the major metabolite in all 3 media. Taurocholate infusion enhanced the Emax of the 3 contrast agents by 0.32 μmol/μmol of bile salt. At low rates of bile salt excretion, the Emax of I, II, and III were similar or slightly higher than the previously reported Emax of iopanoic acid. At bile salt excretion rates of 2 μmol/min/kg and higher, the Emax of the 3 contrast media were less than that of iopanoic acid. Thus, in terms of hepatic excretion iopanoic acid seems to be a better cholecystog. agent than I, II, or III in patients with circulating bile salts (fed patients).

IT **37863-70-0**
RL: PROC (Process)
(biliary excretion of)

RN 37863-70-0 CAPLUS
CN Butanoic acid, 4-[ethyl[2,4,6-triiodo-3-(methylamino)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



L21 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:566652 CAPLUS
DOCUMENT NUMBER: 85:166652
TITLE: Oral x-ray contrast medium
INVENTOR(S): Clauss, Wolfram; Speck, Ulrich; Jentsch, Dietmar
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2505218	A1	19760819	DE 1975-2505218	19750205
CH 630262	A	19820615	CH 1976-1134	19760129
NL 7601051	A	19760809	NL 1976-1051	19760202
GB 1542677	A	19790321	GB 1976-4181	19760203
SE 7601197	A	19760806	SE 1976-1197	19760204
SE 436686	B	19850121		
SE 436686	C	19850502		
NO 7600367	A	19760806	NO 1976-367	19760204

NO 146045	B	19820413			
NO 146045	C	19820804			
JP 51104020	A2	19760914	JP 1976-11182	19760204	
AT 7600781	A	19790715	AT 1976-781	19760204	
BE 838293	A1	19760805	BE 1976-164112	19760205	
DK 7600475	A	19760806	DK 1976-475	19760205	
DK 147671	B	19841112			
DK 147671	C	19850528			
FR 2299854	A1	19760903	FR 1976-3166	19760205	

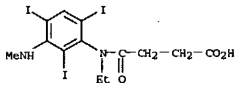
PRIORITY APPLN. INFO.: DE 1975-2505218 19750205

AB Standard oral radiocontent agents commonly used for cholecystocholangiog. are administered with a base or buffer (esp. or in the same pharmaceutical preparation), the base or buffer neutralize the gastric contents, stimulating the absorption of the contrast medium and making possible an earlier representation of the gallbladder and bile ducts. Per unit dose of contrast agent (3-10 g), 0.5-10 g base or buffer is employed. Thus, a combined tablet contained succinic acid mono(2,4,6-triiodo-3-methylamino-N-ethylanilide) [37863-70-0] (contrast agent) 500, NaHCO3 290, cellulose 95, talc 10, and Mg stearate 5 mg.

IT 37863-70-0
RL: BIOL (Biological study)
(radiog. contrast media containing bicarbonate and)

RN 37863-70-0 CAPLUS

CN Butanoic acid, 4-[ethyl[2,4,6-triiodo-3-(methylamino)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



L21 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:553707 CAPLUS

DOCUMENT NUMBER: 85:153707

TITLE: Influences on the rate of absorption of the cholecystographic contrast medium iosumetic acid in man

AUTHOR(S): Speck, Ulrich; Clauss, Wolfram; Blumenbach, Lutz; Albrecht, Adolf

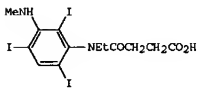
CORPORATE SOURCE: Pharma-Forsch., Schering A.-G., Berlin, Fed. Rep. Ger.

SOURCE: Investigative Radiology (1976), 11(4), 315-18
CODEN: INVRAV; ISSN: 0020-9996

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

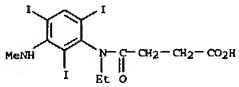


AB Groups of fasting patients were given 3 g iosumetic acid (I) [37863-70-0] in micronized form (particle size <20 μm); Group I with 150 ml tea; Group II with 500 ml tea; Group III with 150 ml tea plus 10 mg Paspertin (metoclopramide) [364-62-5] 5 min post-administration i.v.; and Group IV with 150 ml tea and 3 g Na bicarbonate. Blood samples were taken ≤6 hr after administration in order to determine the iodine concentration In Groups I and II maximum blood levels were reached 2.1 or 2.0 hr post-administration, resp.; in Group III they were reached 1.6 hr post-administration; and in Group IV the maximum level was reached after only 0.9 hr. A half-life of 0.3 hr was calculated for I absorption in Group IV.

IT 37863-70-0
RL: PROC (Process)
(of contrast medium, absorption of, by intestine)

RN 37863-70-0 CAPLUS

CN Butanoic acid, 4-[ethyl[2,4,6-triiodo-3-(methylamino)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



L21 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:176181 CAPLUS

DOCUMENT NUMBER: 84:176181

TITLE: A new method for radioiodide labeling by halogen exchange in molten acetamide

AUTHOR(S): Elias, Horst; Lotterhos, Herbert F.

CORPORATE SOURCE: Eduard-Zintl-Inst., Tech. Hochsch. Darmstadt, Darmstadt, Fed. Rep. Ger.

SOURCE: Chemische Berichte (1976), 109(4), 1580-3
CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

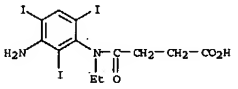
LANGUAGE: German

AB Acetamide was dissolved in either acetonitrile or (Me)2CO. Na131I and m-iodobenzoic acid were added and the temperature was raised to 180° for 60 min. After isolation of the radioactive m-iodobenzoic-131I acid an isotope exchange of 100% was found. Under similar conditions, isotope exchange of >92% was found with o- and p-iodobenzoic acid and m-bromobenzoic acid. Isotope exchange with m-chloro- or m-fluorobenzoic acids or benzoic acid itself was ≤0.7%.

IT 1634-73-7
RL: ANST (Analytical study)
(labeling of, with iodine-131, by isotope exchange in acetamide)

RN 1634-73-7 CAPLUS

CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo- (9CI) (CA INDEX NAME)



L21 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1972:509343 CAPLUS

DOCUMENT NUMBER: 77:109343

TITLE: Pharmacological properties of some iodinated N-aryldicarboxylic acid monoamides

AUTHOR(S): Bekker, H.; Cassebaum, H.; Lietz, W.

CORPORATE SOURCE: Hauptabt. Pharm. Forsch., VEB Fahlberg-List, Magdeburg, Ger. Dem. Rep.

SOURCE: Pharmazie (1972), 27(6), 411-14
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

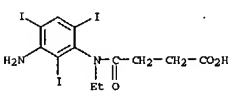
LANGUAGE: German

AB The biliary contrast medium Falignost (iomeglamic acid) (I) [25827-76-3] (330 mg/kg orally) provided maximum visualization of the gall bladder and biliary passages 4 hr after administration to dogs, and was totally excreted within 24 hr. The LD50 of I was 1700 mg/kg orally in mice. I had little effect on blood pressure and electrocardiogram in cats below 200 mg/kg orally. RG 235 [N-ethyl-N-(2,4,6-triiodo-3-aminophenyl)succinamic acid [1634-73-7] was similarly effective as a contrast medium but produced unpleasant side reactions.

IT 1634-73-7 38358-07-5
RL: BIOL (Biological study)
(contrast medium, for biliary tract, pharmacology and toxicity in relation to)

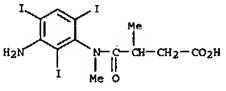
RN 1634-73-7 CAPLUS

CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo- (9CI) (CA INDEX NAME)



RN 38358-07-5 CAPLUS

CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)methylamino]-3-methyl-4-oxo- (9CI) (CA INDEX NAME)



L21 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1972:474987 CAPLUS

DOCUMENT NUMBER: 77:74987

TITLE: Iodinated N-aryldicarboxylic acid monoamides as orally applied bile contrast media

AUTHOR(S): Cassebaum, H.; Dierbach, K.; Bekker, H.

CORPORATE SOURCE: Hauptabt. Pharm. Forsch., VEB Fahlberg-List, Magdeburg, Ger. Dem. Rep.

SOURCE: Pharmazie (1972), 27(6), 391-5
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: English

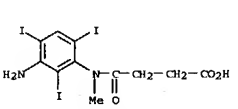
GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepared by common methods such as diazotization of I (R = H, alkyl; X = H, Z = NH2) and reaction with KI, or O- or N- and O-alkylation. Twenty-one I [Q = (CH2)2, (CH2)3, CHEt, CH2CHMe, CH2CHEt; R = H, C1-4 alkyl; X = H, iodo; Z = H, OH, OMe, NH2, iodo] were prepared and succinic and glutaric acid derivs. gave the largest contrast.

IT 1221-05-2 1634-73-7 10515-72-7
18982-98-4 37934-63-7 37934-68-2
37938-80-0 38188-61-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(bile contrast medium)

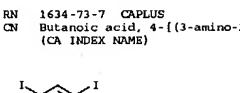
RN 1221-05-2 CAPLUS

CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)methylamino]-4-oxo- (9CI) (CA INDEX NAME)



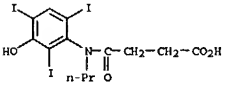
RN 1634-73-7 CAPLUS

CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo- (9CI) (CA INDEX NAME)



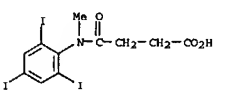
RN 10515-72-7 CAPLUS

CN Butanoic acid, 4-[(3-hydroxy-2,4,6-triiodophenyl)propylamino]-4-oxo- (9CI) (CA INDEX NAME)



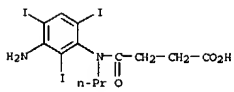
RN 18982-98-4 CAPLUS

CN Butanoic acid, 4-[methyl(2,4,6-triiodophenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)

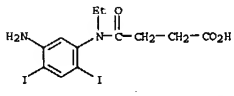


RN 37934-63-7 CAPLUS

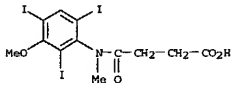
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)propylamino]-4-oxo- (9CI) (CA INDEX NAME)



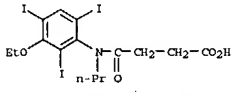
RN 37934-68-2 CAPLUS
CN Butanoic acid, 4-[(5-amino-2,4-diiodophenyl)ethylamino]-4-oxo- (9CI) (CA INDEX NAME)



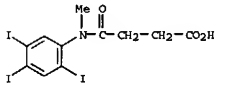
RN 37938-80-0 CAPLUS
CN Butanoic acid, 4-[methyl(2,4,6-triiodo-3-methoxyphenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



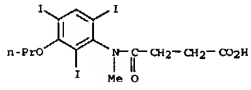
RN 38188-61-3 CAPLUS
CN Butanoic acid, 4-[(3-ethoxy-2,4,6-triiodophenyl)propylamino]-4-oxo- (9CI) (CA INDEX NAME)



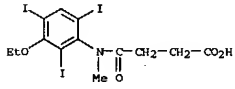
IT 37938-62-8P 37938-64-0P 37938-65-1P
37938-69-5P 37938-70-8P 37938-74-2P
37938-78-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37938-62-8 CAPLUS
CN Butanoic acid, 4-[methyl(2,4,5-triiodophenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



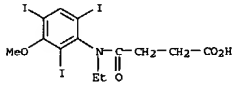
RN 37938-64-0 CAPLUS
CN Butanoic acid, 4-[methyl(2,4,6-triiodo-3-propoxyphenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



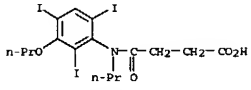
RN 37938-65-1 CAPLUS
CN Butanoic acid, 4-[(3-ethoxy-2,4,6-triiodophenyl)methylamino]-4-oxo- (9CI) (CA INDEX NAME)



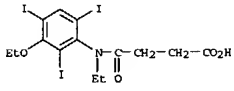
RN 37938-69-5 CAPLUS
CN Butanoic acid, 4-[ethyl(2,4,6-triiodo-3-methoxyphenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



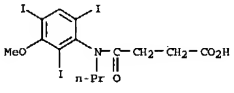
RN 37938-70-8 CAPLUS
CN Butanoic acid, 4-oxo-4-[propyl(2,4,6-triiodo-3-propoxyphenyl)amino]- (9CI) (CA INDEX NAME)



RN 37938-74-2 CAPLUS
CN Butanoic acid, 4-[(3-ethoxy-2,4,6-triiodophenyl)ethylamino]-4-oxo- (9CI) (CA INDEX NAME)



RN 37938-78-6 CAPLUS
CN Butanoic acid, 4-oxo-4-[propyl(2,4,6-triiodo-3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)



L21 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:434150 CAPLUS
DOCUMENT NUMBER: 77:34150
TITLE: 3-(Methylamino)-2,4,6-triiodophenyl derivatives
INVENTOR(S): Gries, Heinz
PATENT ASSIGNEE(S): Schering A.-G.
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

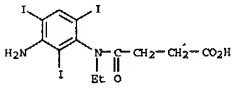
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2050217	A	19720406	DE 1970-2050217	19701002
ZA 7105707	A	19720426	ZA 1971-5707	19710826
CS 170548	P	19760827	CS 1971-6127	19710826
AU 7133022	A1	19730308	AU 1971-33022	19710902
CH 582130	A	19761130	CH 1971-12951	19710902
DK 131990	B	19751006	DK 1971-4369	19710906
FI 51766	B	19761231	FI 1971-2494	19710906
ES 395601	A1	19731101	ES 1971-395601	19710920
GB 1369999	A	19741009	GB 1971-43733	19710920
SU 501667	D	19760130	SU 1971-1698865	19710920
US 3883578	A	19750513	US 1971-184941	19710929
DD 96831	C	19730412	DD 1971-161928	19710930
HU 162899	P	19730428	HU 1971-SC353	19710930
BR 7106500	A0	19730816	BR 1971-6500	19710930
ES 395602	A1	19731101	ES 1971-395602	19710930
PL 81720	P	19750830	PL 1971-150810	19710930
PL 82567	P	19751031	PL 1971-155404	19710930
BE 773417	A1	19720404	BE 1971-108864	19711001
NL 7113557	A	19720405	NL 1971-13557	19711001
FR 2110179	A5	19720602	FR 1971-35459	19711001
FR 2110179	B1	19740906		
AT 309670	B	19730827	AT 1971-8508	19711001
CA 955938	A1	19741008	CA 1971-124211	19711001
AT 319208	B	19741210	AT 1972-7978	19711001
IL 37829	A1	19761031	IL 1971-37829	19711001
NO 135666	B	19770131	NO 1971-3609	19711001
SE 396073	B	19770905	SE 1971-12468	19711001
DK 7405412	A	19750602	DK 1974-5412	19741016
DK 141017	B	19791224		
DK 141017	C	19800609		
NO 7603723	A	19720405	NO 1976-3723	19761102

PRIORITY APPLN. INFO.: DE 1970-2050217 19701002
DK 1971-4369 19710906
NO 1971-3609 19711001

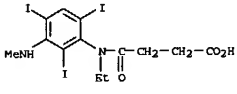
GI For diagram(s), see printed CA Issue.

AB Nine title compds. [I, R = CO2H, R1 = H (II), CONHMe, NMeAc, or CH2NHAc; and R1 = H, R = CH2CH2CO2R2 (with R2 = Na, H, or Me), CH2CHEtCO2H, NEtCO(CH2)2CO2H] and (or) their HCl salts were useful as x-ray contrast media and intermediates therefor. I were prepared from 3-amino-1-R-5-R1-2,4,6-triiodobenzene (III) by methylation with HCHO in H2SO4 and optionally esterification. Thus, III (R = CO2H, R1 = H) was treated in concentrated H2SO4 with 38% HCHO for 6.5 hr at 50-5° to give 85% II.

IT 1634-73-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of)
RN 1634-73-7 CAPLUS
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo- (9CI) (CA INDEX NAME)



IT 37863-70-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37863-70-0 CAPLUS
CN Butanoic acid, 4-(ethyl[2,4,6-triiodo-3-(methylamino)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



L21 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:419387 CAPLUS
DOCUMENT NUMBER: 77:19387
TITLE: 3-Cyclic imides of 3-amino-2,4,6-triiodohydrocinnamic acids
INVENTOR(S): Ackerman, James H.
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3655669	A	19720411	US 1969-827954	19690526

PRIORITY APPLN. INFO.: US 1969-827954 19690526

GI For diagram(s), see printed CA Issue.

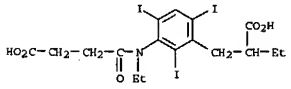
AB 3-Imido-2,4,6-triiodohydrocinnamic acids (I) and II, useful as cholecystographic agents, were prepared from the corresponding 3-aminohydrocinnamic acids and dibasic anhydrides. Thus, concentrated H2SO4 was added to 3-amino-α-ethyl-2,4,6-triiodohydrocinnamic acid and succinic anhydride at 140-9° and stirred 7 min to give I (R = Et, n

= 2), which on hydrolysis with NaOH gave II (R = Et, R1 = H, n = 2). Similarly prepared were I and II (R = Et; R1 = H, Me, Et; n = 2,3).

IT **37940-63-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 37940-63-9 CAPLUS

CN Benzenepropanoic acid, 3-[(3-carboxy-1-oxopropyl)ethylamino]-α-ethyl-2,4,6-triiodo-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

L21 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:140266 CAPLUS
DOCUMENT NUMBER: 76:140266
TITLE: 3-(Carboxyalkanoylamino)-2,4,6-triiodohydrocinnamic acids
INVENTOR(S): Ackerman, James H.
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3637825	A	19720125	US 1969-854291	19690829

PRIORITY APPLN. INFO.: US 1969-854291 19690829

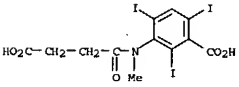
GI For diagram(s), see printed CA Issue.

AB The imides I and amic acids II (R1 = H, alkyl, or Ph; R2 = H, alkyl, or hydroxyalkyl; R3 = H or alkyl; Y = alkylene or O- or S-bridged alkylene), useful as cholecystographic agents, are prepared by reaction of dicarboxylic anhydrides with aminotriiodohydrocinnamic acid derivs. Heating 64 g glutaric anhydride and 40 g 3-amino-α-ethyl-2,4,6-triiodohydrocinnamic acid 5 hr at 100° with addition of 20 drops H_2SO_4 gives 21.75 g α-ethyl-3-glutarimido-2,4,6-triiodohydrocinnamic acid (I, R1 = Et, Y = CH_2CH_2), LD50 (mice) 595 mg/kg, average cholecystographic index (cats, 100 mg/kg) 3.4. Solvolysis of I gives II.

IT **35735-44-5P 35735-45-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 35735-44-5 CAPLUS

CN Benzenepropanoic acid, 3-[(3-carboxy-1-oxopropyl)methylamino]-α-ethyl-2,4,6-triiodo- (9CI) (CA INDEX NAME)



L21 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:78726 CAPLUS
DOCUMENT NUMBER: 72:78726
TITLE: 3-Amino-2,4,6-triiodobenzamide derivatives as x-ray contrast agents
INVENTOR(S): Obendorf, Werner; Lindner, Irmgard
PATENT ASSIGNEE(S): Oesterreichische Stickstoffwerke A.-G.
SOURCE: Austrian, 7 pp.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

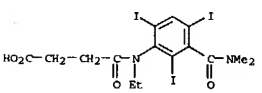
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 275025		19691010	AT	19670713
FR 7940			FR	

AB The title compds. (I), suitable as x-ray contrast agents, particularly for the gall bladder and bile ducts, are prepared by treating the corresponding aminotriiodobenzoic acid amide with suitable chlorides. Thus, 57.0 g 3-amino-2,4,6-triiodobenzoic acid diethylamide and 15 g $MeO_2CCH_2CH_2COCl$ (II) in 200 ml dioxane was heated, 2.5 hr at 120°, 5 g II added and the mixture heated 3 hr to give 58.1 g β-[N-(3-N,N-diethylcarbamyl-2,4,6-triiodophenyl)carbamyl]-propionic acid Me ester, m. 169-71°, hydrolyzed by heating with N NaOH in MeOH to obtain 90% corresponding acid, m. 202-7°, which was methylated in alkaline solution with Me_2SO_4 to give 84% 2,4,6,-3I3(R2R3N)C6HCONRR1 (I, R = R1 = Et, R2 = CO-$CH_2CH_2CO_2H$, R3 = Me), m. 170-4°. By similar methods were prepared 35 other I.

IT **24788-57-6P 24788-59-8P 24788-63-4P**
24788-65-6P 24788-67-8P 24788-68-9P
24788-70-3P 24788-71-4P 24788-73-6P
24788-74-7P 24788-75-8P 24788-76-9P
24789-58-0P 24789-61-5P 24789-64-8P
24789-67-1P 24789-68-2P 24797-48-6P
28067-44-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

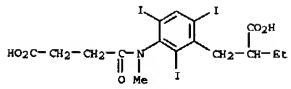
RN 24788-57-6 CAPLUS

CN Succinanilic acid, 3'-(dimethylcarbamoyl)-N-ethyl-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



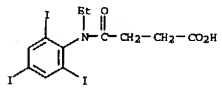
RN 24788-59-8 CAPLUS

CN Succinanilic acid, N-ethyl-3'-(ethylcarbamoyl)-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



RN 35735-45-6 CAPLUS

CN Butanoic acid, 4-[ethyl(2,4,6-triiodophenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



L21 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:445165 CAPLUS
DOCUMENT NUMBER: 73:45165
TITLE: N-Methyl-3-carboxy-2,4,6-triiodo-5-(N-methylacetamido)-glutaranilic and succinanilic acids
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: Brit., 10 pp. Division of Brit. 1191015
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1191016	A	19700506	GB 1967-1191016	19670511
FR 6447	M	19681112	FR 1967-6447	19670517
SE 316176	B	19691020	SE 1967-6892	19670517
US 3660408	A	19720502	US 1969-841604	19690714

PRIORITY APPLN. INFO.: US 1966-550614 19660517
CA 1969-46086 19690318

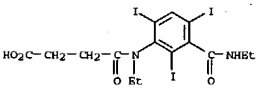
GI For diagram(s), see printed CA Issue.

AB A mixture of 58.6 g I (R1 = Ac) R2 = Me), R3 = R4 = H), 74 g glutaric anhydride, and 8 ml concentrated H_2SO_4 was heated for 5 hr. The product, I (R1 = Ac, R2 = Me, (R3R4) = $CO(CH_2)_3CO$], was dissolved in aqueous NaOH, warmed, and worked up (3N HCl) to give I (R1 = Ac, R2 = Me, R3 = H, R4 = $Co(CH_2)_3CO_2H$), m. 188-196°. Similarly prepared were I [R1, R2, R3, R4, and m.p. (decomposition) given]: Ac, Me, H, $CO(CH_2)_2$ CO_2H, 275-6°; H, H, H, $CO(CH_2)_2CO_2H$, 194°; H, H, H, $CO(CH_2)_3CO_2H$, 219-221°; H, H, Me, $CO(CH_2)_3CO_2N$, 218-220°; Ac, Me, Me, CO $(CH_2)_3CO_2H$, 284-7°; Ac, Me, Et, $CO(CH_2)_3CO_2H$, 259-61°, as well as other compds.

IT **29427-65-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

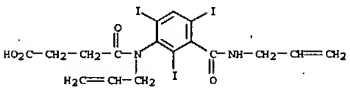
RN 29427-65-4 CAPLUS

CN Succinanilic acid, 3'-carboxy-2',4',6'-triiodo-N-methyl- (8CI) (CA INDEX NAME)



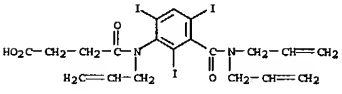
RN 24788-63-4 CAPLUS

CN Succinanilic acid, N-allyl-3'-(allylcarbamoyl)-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



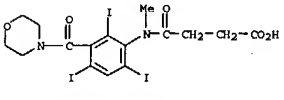
RN 24788-65-6 CAPLUS

CN Succinanilic acid, N-allyl-3'-(diallylcarbamoyl)-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



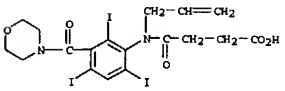
RN 24788-67-8 CAPLUS

CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(morpholinocarbonyl)- (8CI) (CA INDEX NAME)



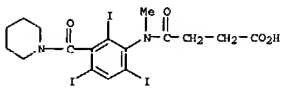
RN 24788-68-9 CAPLUS

CN Succinanilic acid, N-allyl-2',4',6'-triiodo-3'-(morpholinocarbonyl)- (8CI) (CA INDEX NAME)

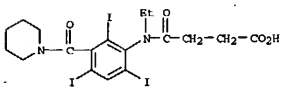


RN 24788-70-3 CAPLUS

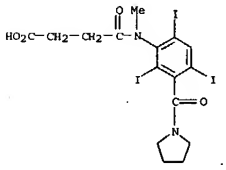
CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(piperidinocarbonyl)- (8CI) (CA INDEX NAME)



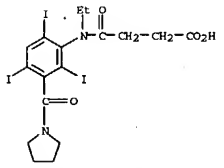
RN 24788-71-4 CAPLUS
CN Succinanilic acid, N-ethyl-2',4',6'-triiodo-3'-(piperidinocarbonyl)- (8CI) (CA INDEX NAME)



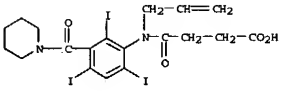
RN 24788-73-6 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(1-pyrrolidinylcarbonyl)- (8CI) (CA INDEX NAME)



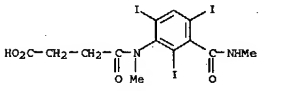
RN 24788-74-7 CAPLUS
CN Succinanilic acid, N-ethyl-2',4',6'-triiodo-3'-(1-pyrrolidinylcarbonyl)- (8CI) (CA INDEX NAME)



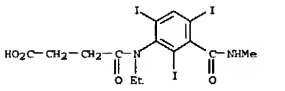
RN 24788-75-8 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-propyl-3'-(1-pyrrolidinylcarbonyl)- (8CI) (CA INDEX NAME)



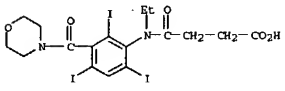
RN 24789-67-1 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(methylcarbamoyl)- (8CI) (CA INDEX NAME)



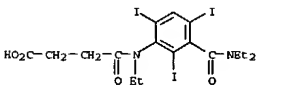
RN 24789-68-2 CAPLUS
CN Succinanilic acid, N-ethyl-2',4',6'-triiodo-3'-(methylcarbamoyl)- (8CI) (CA INDEX NAME)



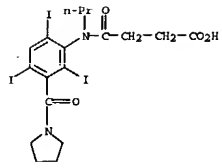
RN 24797-48-6 CAPLUS
CN Succinanilic acid, N-ethyl-2',4',6'-triiodo-3'-(morpholinocarbonyl)- (8CI) (CA INDEX NAME)



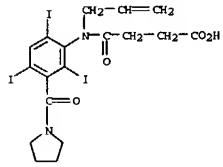
RN 28067-44-9 CAPLUS
CN Succinanilic acid, 3'-(diethylcarbamoyl)-N-ethyl-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



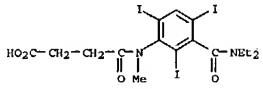
(8CI) (CA INDEX NAME)



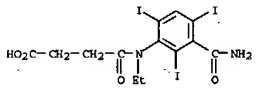
RN 24788-76-9 CAPLUS
CN Succinanilic acid, N-allyl-2',4',6'-triiodo-3'-(1-pyrrolidinylcarbonyl)- (8CI) (CA INDEX NAME)



RN 24789-58-0 CAPLUS
CN Succinanilic acid, 3'-(diethylcarbamoyl)-2',4',6'-triiodo-N-methyl- (8CI) (CA INDEX NAME)



RN 24789-61-5 CAPLUS
CN Succinanilic acid, 3'-carbamoyl-N-ethyl-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



RN 24789-64-8 CAPLUS
CN Succinanilic acid, N-allyl-2',4',6'-triiodo-3'-(piperidinocarbonyl)- (8CI) (CA INDEX NAME)

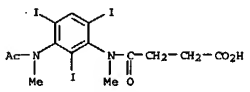
L21 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:66642 CAPLUS
DOCUMENT NUMBER: 72:66642
TITLE: Triiodoaniline derivatives
INVENTOR(S): Ackerman, James H.
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: Ger. Offen., 45 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1915196	A	19691120	DE 1969-1915196	19690325
GB 1228521	A	19710415	GB 1969-1228521	19690319
NO 124252	B	19720327	NO 1969-1170	19690320
IL 31862	A1	19730829	IL 1969-31862	19690320
BR 6907386	A0	19730531	BR 1969-207386	19690321
IT 974525	A	19740710	IT 1969-35931	19690324
BE 730385	A	19690925	BE 1969-730385	19690325
NL 6904602	A	19690929	NL 1969-4602	19690325
FR 2004680	A5	19691128	FR 1969-8707	19690325
CH 504412	A	19710315	CH 1969-504412	19690325
US 3660408	A	19720502	US 1969-841604	19690714
US 3780041	A	19731218	US 1971-181248	19710916
US 3803221	A	19740409	US 1971-181249	19710916
US 3926975	A	19751216	US 1973-364290	19730529
US 3853965	A	19741210	US 1973-387688	19730813
PRIORITY APPLN. INFO.:			US 1968-715583	19680325
			CA 1969-46086	19690318
			US 1969-841604	19690714
			US 1971-181248	19710916
			US 1971-181249	19710916

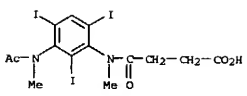
AB The title products, suitable as x-ray contrast agents, are prepared Thus, 265 g 3,5-diamino-2,4,6-triiodobenzoic acid, 400 g glutaric acid anhydride, and 18 ml H2SO4 were heated 17 hr to obtain 3,5-bis(glutarimido)-2,4,6-triiodobenzoic acid (I), m. >300° (containing 1 mole Me2SO); Na salt m. 288-91° (water). I Na salt (89.10 g) was heated with 400 ml HCONMe2 20 min to 85° and 4 hr to 130-5° to give 76.93 g N,N'-(2,4,6-triiodo-m-phenylene)diglutarimide, m. >300° (AcOH). By similar methods were prepared 48 addnl. examples.

IT 25887-04-1P 25887-05-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 25887-04-1 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(N-methylacetamido)- (8CI) (CA INDEX NAME)



RN 25887-05-2 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(N-methylacetamido)-, sodium salt (8CI) (CA INDEX NAME)



● Na

L21 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:66587 CAPLUS
DOCUMENT NUMBER: 72:66587
TITLE: N-(Hydroxyalkyl)-2',4',6'-triiodosuccinanilic acids, cholecystographic contrast media
INVENTOR(S): Holtermann, Hugo
PATENT ASSIGNEE(S): Nyegaard og Co. A/S
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

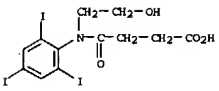
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1926557	A	19691218	DE 1969-1926557	19690523
GB 1268188	A	19720322	GB 1968-27698	19680611
BE 733777	A	19691201	BE 1969-733777	19690529
NL 6908423	A	19691215	NL 1969-8423	19690603
FR 2010637	A5	19700220	FR 1969-18162	19690603
US 3666803	A	19720530	US 1969-831207	19690606
DK 121570	B	19711101	DK 1969-3097	19690609
CH 519339	A	19720229	CH 1969-519339	19690610
NO 126796	B	19730326	NO 1969-2392	19690610

PRIORITY APPLN. INFO.: GB 1968-27698 19680611

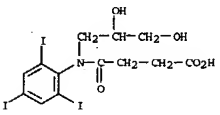
AB Orally administrable, non-toxic cholecystographic x-ray contrast media, free of undesired secondary effects (nausea, diarrhea), excreted after cholecystography in a relatively short time, were prepared Thus, 2,4,6-triiodosuccinic acid monoanilide (I) (11.4 g) suspended in 120 ml MeOH was treated with 16 ml 4.9M NaOMe 4.1 ml AcOCH2CH2Cl added at room temperature, the mixture stirred 29 hr, 8 ml 4.9M NaOMe and 4.1 ml AcOCH2CH2Cl were added, and the mixture was stirred 21 hr to give 87% N-(β-hydroxyethyl)-2,4,6-triiodosuccinic acid monoanilide (II), m. 152-66° (EtOAc). II was also prepared using 2-chloroethanol as alkylating agent and NaOMe or a mixture of EtOH and 5N NaOH as solvent. Treating a suspension of 5.7 g I in 25 ml MeOH with 2.35 ml 4.6M NaOMe, adding 1.9 ml glycidol, and stirring the mixture 4 days at room temperature gave viscous N-(dihydroxypropyl)-2,4,6-triiodosuccinic acid monoanilide. Compns. for use were given.

IT 25825-11-0P 25825-12-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 25825-11-0 CAPLUS
CN Succinanilic acid, N-(2-hydroxyethyl)-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



RN 25825-12-1 CAPLUS
CN Succinanilic acid, N-(2,3-dihydroxypropyl)-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



L21 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:21512 CAPLUS
DOCUMENT NUMBER: 72:21512
TITLE: 3-Carboxyacylamino-2,4,6-triiodobenzamides and their salts and alkyl esters
INVENTOR(S): Obendorf, Werner; Schwarzinger, Ernst; Krieger, Josef
PATENT ASSIGNEE(S): Oesterreichische Stickstoffwerke A.-G.
SOURCE: Austrian, 7 pp.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

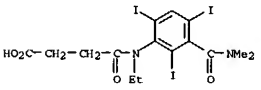
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 274795		19690925	AT	19670713
GB 1185114			GB	
US 3853866		19740000	US	

GI For diagram(s), see printed CA Issue.

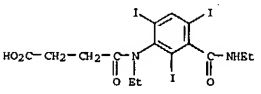
AB Title compds. were prepared for use in x-ray diagnosis, especially in cases involving gallbladder. Thus, to 533.3 g 3-amino-2,4,6-triiodobenzoyl chloride in 2.2 l. CHCl3 was added dropwise at reflux 165.6 g MeO2CCH2CH2COCl over 3 hr to give 90.4% 3-(β-carbomethoxypropionylamino)-2,4,6-triiodobenzoyl chloride m. 184-7°. This (585 g) dispersed in 4.8 l. acetone was treated with 150 g Et2NH to give 90.7% β-[N-[3-(N,N-diethylcarbamoyl)-2,4,6-triiodophenyl]carbamoyl]propionic acid Me ester, m. 169-71°. This (68.4 g) in 500 ml. dioxane at 10° was treated with 12.6 g. Me2SO4 50 ml 4N NaOH, and 50 ml saturated NaCl solution At 5° dioxane crystallized which after separation, drying and concentration at reduced pressure gave 53 g β-[N-[3-(N,N-diethylcarbamoyl)-2,4,6-triodophenyl]-N-methylcarbamoyl]propionic acid Me ester as an oil, which crystallized from MeOH resulted in a mixture of isomers m. 131-9°. The aqueous phase above was acidified with dil.HCl to give 14.8 g. I. Using this procedure 33 similar acids were prepared, which could be transformed into their Na salts.

IT 24788-57-6P 24788-59-8P 24788-63-4P
24788-65-6P 24788-67-8P 24788-68-9P
24788-70-3P 24788-71-4P 24788-73-6P
24788-74-7P 24788-75-8P 24788-76-9P
24789-58-0P 24789-61-5P 24789-64-8P
24789-67-1P 24789-68-2P 24797-48-6P
28067-44-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

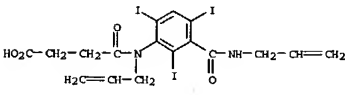
RN 24788-57-6 CAPLUS
CN Succinanilic acid, 3'-(dimethylcarbamoyl)-N-ethyl-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



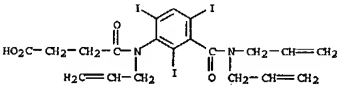
RN 24788-59-8 CAPLUS
CN Succinanilic acid, N-ethyl-3'-(ethylcarbamoyl)-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



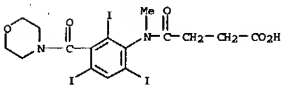
RN 24788-63-4 CAPLUS
CN Succinanilic acid, N-allyl-3'-(allylcarbamoyl)-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



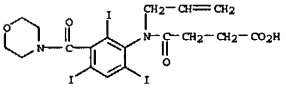
RN 24788-65-6 CAPLUS
CN Succinanilic acid, N-allyl-3'-(diallylcarbamoyl)-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



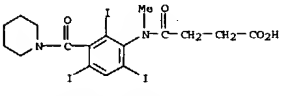
RN 24788-67-8 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(morpholinocarbonyl)- (8CI) (CA INDEX NAME)



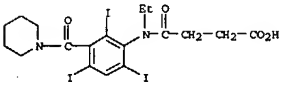
RN 24788-68-9 CAPLUS
CN Succinanilic acid, N-allyl-2',4',6'-triiodo-3'-(morpholinocarbonyl)- (8CI) (CA INDEX NAME)



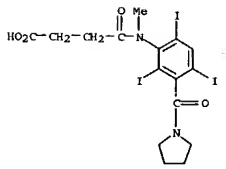
RN 24788-70-3 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(piperidinocarbonyl)- (8CI) (CA INDEX NAME)



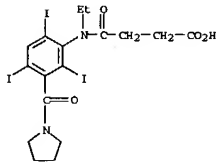
RN 24788-71-4 CAPLUS
CN Succinanilic acid, N-ethyl-2',4',6'-triiodo-3'-(piperidinocarbonyl)- (8CI) (CA INDEX NAME)



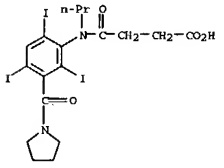
RN 24788-73-6 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(1-pyrrolidinylcarbonyl)- (8CI) (CA INDEX NAME)



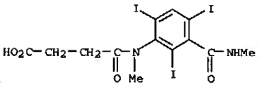
RN 24788-74-7 CAPLUS
CN Succinanilic acid, N-ethyl-2',4',6'-triiodo-3'-(1-pyrrolidinylcarbonyl)- (8CI) (CA INDEX NAME)



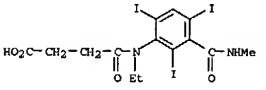
RN 24788-75-8 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-propyl-3'-(1-pyrrolidinylcarbonyl)- (8CI) (CA INDEX NAME)



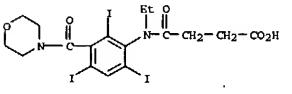
RN 24788-76-9 CAPLUS
CN Succinanilic acid, N-allyl-2',4',6'-triiodo-3'-(1-pyrrolidinylcarbonyl)- (8CI) (CA INDEX NAME)



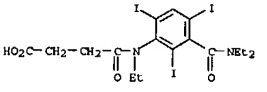
RN 24789-68-2 CAPLUS
CN Succinanilic acid, N-ethyl-2',4',6'-triiodo-3'-(methylcarbamoyl)- (8CI) (CA INDEX NAME)



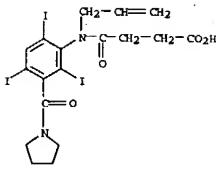
RN 24797-48-6 CAPLUS
CN Succinanilic acid, N-ethyl-2',4',6'-triiodo-3'-(morpholinocarbonyl)- (8CI) (CA INDEX NAME)



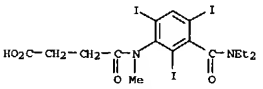
RN 28067-44-9 CAPLUS
CN Succinanilic acid, 3'-(diethylcarbamoyl)-N-ethyl-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



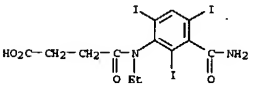
L21 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:421765 CAPLUS
DOCUMENT NUMBER: 71:21765
TITLE: Polychloroaromatic compounds. V. Preparation and oxidation of pentachlorophenyl-substituted tertiary amines and reactions of butyllithium and other nucleophiles with various pentachlorophenyl derivatives
AUTHOR(S): Berry, D. J.; Collins, I.; Roberts, S. M.; Suschitzky, H.; Wakefield, B. J.
CORPORATE SOURCE: Univ. Salford, Salford, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1969), (9), 1285-94
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English



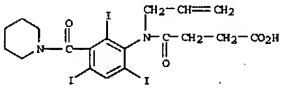
RN 24789-58-0 CAPLUS
CN Succinanilic acid, 3'-(diethylcarbamoyl)-2',4',6'-triiodo-N-methyl- (8CI) (CA INDEX NAME)



RN 24789-61-5 CAPLUS
CN Succinanilic acid, 3'-carbamoyl-N-ethyl-2',4',6'-triiodo- (8CI) (CA INDEX NAME)

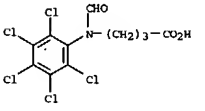


RN 24789-64-8 CAPLUS
CN Succinanilic acid, N-allyl-2',4',6'-triiodo-3'-(piperidinocarbonyl)- (8CI) (CA INDEX NAME)



RN 24789-67-1 CAPLUS
CN Succinanilic acid, 2',4',6'-triiodo-N-methyl-3'-(methylcarbamoyl)- (8CI) (CA INDEX NAME)

AB Methods of preparing N,N-disubstituted aminopenta-chlorobenzenes in good yield, by the reaction of C6Cl6 with secondary amines, were studied. Oxidation of these compds. with peroxy acids did not yield the expected N-oxides, but gave mainly nitroso and nitro derivs. and several by-products. The course of this oxidative degradation is discussed. ONC6Cl5 and C6Cl5NHOH are more stable, than their nonchlorinated analogs. The action of BuLi on the methoxy- and N,N-dialkylaminobenzenes in ethers and in a hydrocarbon solvent gave mixts. of tetrachloro-phenyllithium compds., the isomeric ratio of which was ascertained by N.M.R. spectroscopy. The tendency of the lithio derivs. to generate polychloroarynes was demonstrated by trapping these intermediates with furan. Nucleophilic substitution of C6Cl5NO2 occurred predominantly ortho to the nitro group.
IT **22789-41-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 22789-41-9 CAPLUS
CN Butyric acid, 4-[N-(pentachlorophenyl)formamido]- (8CI) (CA INDEX NAME)



L21 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:410185 CAPLUS
DOCUMENT NUMBER: 69:10185
TITLE: N-Substituted-2,4,6-triiodoanilic acids and their salts
INVENTOR(S): Wallingford, Vernon H.
PATENT ASSIGNEE(S): Mallinckrodt Chemical Works
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

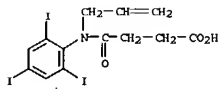
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3359278		19671219	US	19630524

GI For diagram(s), see printed CA Issue.
AB The title acids were prepared by: (a) reaction of triiodoaniline with succinic anhydride (I) to form the succinimide; (b) hydrolysis of the succinimide to the acid; and (c) alkylation of the resulting acid. Thus, 20 g. crude 2,4,6-I3C6H2NH2 (II) was heated with 60 g. I 1 hr. at 190-200° to give a mixture from which N-(2,4,6-triiodophenyl)succinimide separated on cooling. The semisolid mixture was macerated with hot H2O, alkalized with NaOH, the alkali insol. material separated, stirred with a warm alc. NaOH solution (5 ml. 50% solution NaOH in 100 ml. EtOH), and filtered. The NaOH treatment was repeated, the combined filtrates neutralized with HOAc, evaporated to near dryness, and the residual material dissolved in 1.2 l. hot H2O with the aid of NH4OH. The resultant solution was filtered, treated with HOAc, digested at 80-90°, filtered hot, and the partly crystalline 2,4,6-triiodosuccinanilic acid (III) dried to give 8 g. III, m. 248.8-9.8° (decomposition). The crude material was suitable as an intermediate for further synthesis. Digestion of 1 g. III

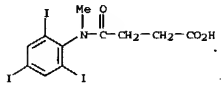
in 100 ml. HOAc also gave III, m. 249.4-50.4° (HOAc). Sublimed II (4.7 g.) was heated with 10 ml. HCONMe2 (DMF) and 2.5 g. MeO2C(CH2)2COCl, 0.5 hr. at 80°, the mixture diluted to 50 ml., and the crude III separated and dried at 110° to 5.1 g. III (4.8 g.) was stirred with a mixture of 20 ml. DMF and 5 ml. H2O, treated gradually with 1 ml. 50% NaOH solution warmed 4 min. at 45-50°, diluted with 150 ml. H2O, and filtered. Acidification of the filtrate with HOAc gave an amorphous precipitate which when separated, and heated to boiling with 30 ml. HOAc gave 3 g. crystalline III, m. 250.3-0.8° (decomposition). A mixture m.p. with III obtained above showed no depression. III (57.1 g.) was added to a solution of EtONa (prepared from 4.8 g. Na and 180 ml. absolute EtOH), the mixture stirred, heated to near reflux (III dissolved; a new solid formed), cooled to 50°, treated with 25 g. MeI gave a taffy-like mass which resisted mechanical stirring. EtOH (100 ml.) was added, the mixture heated 1 hr. with hand stirring to dissoln., the volume reduced to .apprx.100 ml., and treated with 10 ml. 50% NaOH solution to give crystallization EtOH (100 ml.) was added, the mixture stirred 10 min. at 60-70°, excess NaOH was neutralized with HOAc, most of the alc. evaporated, and the residual material dissolved in 800 ml. H2O, the solution treated with C, filtered, and the filtrate acidified with HCl to give an oil which crystallized after the mixture was heated for a time at 75-85°. The mixture was cooled, filtered, and the product dried at 110° yielded 49.8 g. crude III N-Me derivative (IV), m. 158-61.4°. A solution of IV in 225 ml. EtOH treated with C, filtered, diluted with 225 ml. H2O, precipitated IV which dried at 65° gave 42.1 g., m. 164.1-5.5°, neutralization equivalent 585. The N-Bu analog of IV, m. 179.2-82.3°, and the N-allyl analog were also prepared These N-substituted compds. were converted to the N-methylglucamine (V) salts by known methods. Theee compds. are useful as roentgenographic contrast agents, especially in oral cholecystography. Mice i.v. LD50 for V were 237-447 mg./kg. The unalkylated precursors are useful intermediates.

IT **18982-97-3P 18982-98-4P 18982-99-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

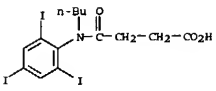
RN 18982-97-3 CAPLUS
CN Succinanilic acid, N-allyl-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



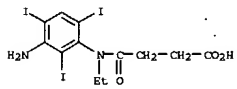
RN 18982-98-4 CAPLUS
CN Butanoic acid, 4-[methyl(2,4,6-triiodophenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 18982-99-5 CAPLUS
CN Succinanilic acid, N-butyl-2',4',6'-triiodo- (8CI) (CA INDEX NAME)



L21 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:45391 CAPLUS
DOCUMENT NUMBER: 66:45391
TITLE: Investigation by clearance methods of the renal toxicity of the sodium salt of succinic acid mono-2,4,6-triiodo-3-amino-N-ethylanilide
AUTHOR(S): Klein, W.; Wichmann, H. J.
CORPORATE SOURCE: Inneren Abt. Roentgenabt., Staedtisches Krankenhaus Berlin-Hohengatow, Germany
SOURCE: Arzneimittel-Forschung (1966), 16(12), 1652-4
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: German
AB Na succinate mono-2,4,6-triiodo-3-amino-N-ethylanilide (two 3-g. doses), given orally 9 hrs. apart to patients with various nephropathologies as a contrast agent for cholecystography, did not significantly affect renal clearance of inulin or p-aminohippuric acid.
IT **2666-11-7**
RL: BIOL (Biological study)
(kidney clearance response to, radiography, and)
RN 2666-11-7 CAPLUS
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo-, monosodium salt (9CI) (CA INDEX NAME)



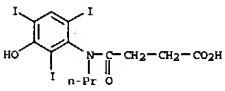
● Na

L21 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:507875 CAPLUS
DOCUMENT NUMBER: 65:107875
ORIGINAL REFERENCE NO.: 65:20069e-g
TITLE: Oral N-propyl-N-(2,4,6-triiodo-3-hydroxyphenyl)dicarboxylic acid monoamides in cholecystangiography
INVENTOR(S): Casselbaum, Heinz; Dierbach, Kurt
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 48600		19660605	DD	19650901

AB An N-propyl-N-(2,4,6-triiodo-3-hydroxyphenyl)dicarboxylic acid monoamide is prepared by alkylating m-aminophenol, treating it with a suitable dicarboxylic acid anhydride, and iodizing it. Thus, 72.6 g. m-aminophenol in 200 ml. EtOH and 72.6 g. PrBr is refluxed 8 hrs., cooled, and poured into 670 ml. water, 50 g. Na2CO3 added to alkalinity, the oil separated by dilution with CCl4, CCl4 evaporated, the residue distilled in vacuo and refluxed 20-30 min. with 28.8 g. succinic anhydride and 147.2 ml. AcOEt, the crystals washed, dried, and dissolved in 14% aqueous NH3, 2M NaCl.ICl dropped in, the mixture acidified, the formed resin dissolved in AcOH and allowed to crystallize to form N-propyl-N-(2,4,6-triiodo-3-hydroxyphenyl)succinamidic acid, m. 158-60°, which is useful as an orally administered gall bladder contrast medium.

IT **10515-72-7**, Succinanilic acid, 3'-hydroxy-2',4',6'-triiodo-N-propyl-
(as contrast medium for chlolecystography)
RN 10515-72-7 CAPLUS
CN Butanoic acid, 4-[(3-hydroxy-2,4,6-triiodophenyl)propylamino]-4-oxo- (9CI) (CA INDEX NAME)

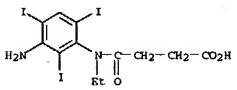


L21 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:420048 CAPLUS
DOCUMENT NUMBER: 65:20048
ORIGINAL REFERENCE NO.: 65:3680c-d
TITLE: Peroral x-ray contrast agents
PATENT ASSIGNEE(S): Sehering A.-G.
SOURCE: 9 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 661625		19650927	BE	
PRIORITY APPLN. INFO.:			DE	19640325

AB The title agents, known iodine-containing organic acids (or salts), especially 2,4,6triiodophenyl derivs., are given coatings or encapsulations of known polymers or mixts. [poly(methacrylic acid), poly(methacrylate esters), poly(vinyl acetate), methylpolysiloxane, poly(vinylpyrrolidinone) silicone resins, etc.] which are stable in the stomach (pH <6.5) for 1-2 hrs., but dissolve rapidly (15-80 min.) in the duodenum (pH >6.5). Thus, 3 g. of the coated methylglucamine salt of 3'-carboxy-2',4',6'-triiodoadipanilide orally gives a bile-duct contrast as good as the 10 g. needed intravenously. Ten thousand band-sealed hard gelatin capsules, each containing 500-750 mg. Na 3-butyramido-m-ethyl-2,4,6-triiodohydroxycinnamate were coated with a varnish of 100 g. poly(methacrylic acid) (mol. weight 135,000), 5 g. castor oil, and 1 kg. iso-PrOH. Each capsule (dry) contained .apprx.7.5 mg. varnish (1.5 mg./cm.2).

IT **2666-11-7**, Succinanilic acid, 3'-amino-N-ethyl-2',4',6'-triiodo-, sodium salt
(in manufacture of radiography contrast agent salt)
RN 2666-11-7 CAPLUS
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L21 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:497355 CAPLUS
DOCUMENT NUMBER: 63:97355
ORIGINAL REFERENCE NO.: 63:17811c-d,17812a
TITLE: Application form of oral contrast media
INVENTOR(S): Richter, Hans; Meiler, Hans
PATENT ASSIGNEE(S): Schering A.-G.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1201007		19650916	DE	19640325
FR 1437666			FR	
NL 6503637			NL	

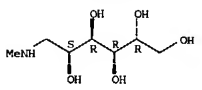
AB Capsules, pills, and tablets of iodized organic compds. used as contrast media in x-ray examination are coated so that they pass the stomach undamaged and decompose in the duodenum or the small intestine where the contrast medium is resorbed; the coat is stable at pH below 6.5 and dissolves rapidly at pH 6.5 and above. For coating, poly(methacrylic acid), poly(vinyl acetate), esters of polysiloxanes and cellulosecarboxylic acids, or formaldehyde gelatin are used. Thus, a solution of 100 g. poly(methacrylic acid) (average mol. weight 135,000) and 5 g. castor oil in 1000 g. iso-PrOH was added with slight rotation of the coating vessel to 10,000 gelatin capsules, volume 0.6 ml., surface 5 cm.2, each containing 500-750 mg. Na β-[3-(dimethylaminomethyleneamino)-2,4,6-triiodophenyl]propionate. Lacquering was interrupted several times in order to dry the capsules with hot air to get an even film and finished after coating each capsule with 7.5 mg. (1.5 mg./cm.2) dry substance. Oral application of 3 g. contrast medium thus prepared gave, after 2 hrs., a good picture of the bile ducts; about 10 g. injected intravenously was required to give the same result.

IT **5542-34-7**, Glucitol, 1-deoxy-1-(methylamino)-, compound with 3'-amino-2',4',6'-triiodo-N-methylsuccinanilic acid (1:1)
(as oral contrast media, enteric tablet coating for)
RN 5542-34-7 CAPLUS
CN Succinanilic acid, 3'-amino-2',4',6'-triiodo-N-methyl-, compd. with 1-deoxy-1-(methylamino)glucitol (1:1) (8CI) (CA INDEX NAME)

CM 1

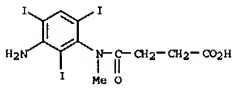
CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.

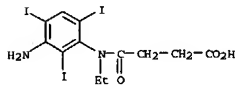


CM 2

CRN 1221-05-2
CMF C11 H11 I3 N2 O3



L21 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:410103 CAPLUS
DOCUMENT NUMBER: 63:10103
ORIGINAL REFERENCE NO.: 63:1780h,1781a-d
TITLE: New mercapto amines as radiation protective agents
AUTHOR(S): De Bellis, Laura; Farina, Anna; Porcelli, Giuseppe A.; Stein, Maria Luisa
CORPORATE SOURCE: Univ. Rome
SOURCE: Ric. Sci., Rend., Sez. B (1964), 4(4), 589-96
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI For diagram(s), see printed CA Issue.
AB 1,2-Bis(2-phenylthiazolidin-4-on-3-yl)ethane (I) was synthesized by two different routes; the first gave rise to two forms with different m.pts. Thus, to a hot solution of 5 g. dibenzalethylenediamine in 50 ml. anhydrous C6H6 was added with stirring 3.9 g. thioglycolic acid and the mixture refluxed 3 hrs. to give I, m. 207.5-208°. The second form, m. 124-4.5°, was obtained from the remaining benzene solution by evaporation and crystallization from MeOH. To 10 ml. methyl thioglycolate in 10 ml. MeOH was added 2.5 ml. ethylenediamine in 2 ml. MeOH and the mixture refluxed 2 hrs. and evaporated The resulting oil was heated 2 hrs. with 7 g. BzH and 0.1 g. 4-MeC6H4SO3H and cooled to give I, m. 124-4.5°, the meso form. The higher melting compound was the dl form. dl-I (1 g.) in 20 ml. AcOH oxidized with 6 ml. 33% H2O2 gave II, m. 211-12° (decomposition). meso-I oxidized in the same way gave a product m. 186-7° (decomposition), the second form of II. I (6.7 g.) in 250 ml. tetra-hydrofuran was reduced with 3.6 g. LiAlH4 in 60 ml. tetrahydrofuran gave an oil, which treated with alc. Hg(OAc)2 gave a white precipitate This compound decomposed with H2S afforded N,N'-dibenzyl-N,N'-dithioethylethylenediamine (III); hydrochloride m. 110-12°; oxalate m. 213-15° (EtOH). III (0.2 g.) in 5 ml. AcOH treated with aqueous solution of 0.4 g. sodium penicillin G gave a product, m. 80° (decomposition), formed by 1 mol. III with 2 mols. penicillin, whose bacteriostatic activity was that of its content in penicillin. Thiourea (5 g.) in 60 ml. anhydrous EtOH and 8 g. N,N-bis(2-chloroethyl)benzylamine-HCl in 100 ml. anhydrous EtOH was refluxed 14 hrs. to give PhCH2N[CH2CH2SC(:NH)NH2]2 (IV) as chloride hydrochloride, m. 201° (decomposition) (EtOH); bromide hydrobromide m. 186-7° (EtOH). IV hydrolyzed with 2N NaOH gave N,N-bis(2-thioethyl)benzylamine (V); picrate m. 130° (decomposition). The radioprotective activity of the synthesized products was assayed in vitro on chicken embryo cells; III was very active, IV and V were less active.
IT 2666-11-7, Succinanilic acid, 3'-amino-N-ethyl-2',4',6'-triiodo-, sodium salt
(as oral contrast media, enteric tablet coating for)
RN 2666-11-7 CAPLUS
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo-, monosodium salt (9CI) (CA INDEX NAME)

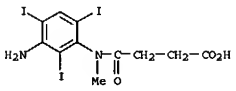


● Na

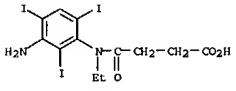
L21 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:74004 CAPLUS
DOCUMENT NUMBER: 62:74004
ORIGINAL REFERENCE NO.: 62:13086e-h
TITLE: New iodine aromatic compounds
PATENT ASSIGNEE(S): Schering A.-G.
SOURCE: 10 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1381643		19641211	FR	
BE 641707			BE	
FR M3263			FR	
GB 987050			GB	
NL 302073			NL	
PRIORITY APPLN. INFO.:			DE	19621222

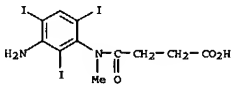
GI For diagram(s), see printed CA Issue.
AB Compds. of formula I in which R is Me or Et were prepared and used to obtain a good radiological image of the bile vesicle 2 to 3 hrs. after oral administration. A mixture 100 ml. dioxane, 3-nitro-N-methylaniline, and 15 g. succinic anhydride was heated 5-6 hrs. on a steam bath, the dioxane distilled under reduced pressure, and 50 ml. H2O added to give 90% mono-3-nitro-N-methylanilide (II) of succinic acid, m. 116-18° (ethyl acetate). The ammonium salt of II in 300 ml. H2O was hydrogenated under pressure over 3 g. Raney Ni to give the mono-3-amino-N'-methylanilide (III) of succinic acid, m. 123-5°. The solution of III was filtered, diluted with 400 ml. glacial HOAc and 2 l. H2O, and 175 ml. of a 2N KIC12 solution added dropwise. The mixture was agitated 48 hrs. and the precipitated product separated and washed with H2O containing H2SO3 to give 50% (R-Me), m. 200-2° (decomposition) (75% EtOH). Similarly, the mono-3-nitroanilide of succinic acid, m. 169-72° was hydrogenated to 85% mono-3-aminoanilide (IV) of succinic acid, m. 164-6°. IV was iodinated to give a 70% mono-2,4,6-triiodo-3-aminoanilide (V) of succinic acid, m. 221-2° (decomposition). V was treated with Et2SO4 to give 86% I (R-Et), m. 188-90°. A paste containing 1.25 kg. I(R-Me) and 0.5 l. starch paste (25 g. cornstarch) was dried and mixed with granules prepared from 0.125 kg. cornstarch and 6 g. Mg stearate and the mixture compressed to give tablets containing 500 mg. active substance.
IT 1221-05-2, Succinanilic acid, 3'-amino-2',4',6'-triiodo-N-methyl- 1634-73-7, Succinanilic acid, 3'-amino-N-ethyl-2',4',6'-triiodo- 2088-96-2, Succinanilic acid, 3'-amino-2',4',6'-triiodo-N-methyl-, sodium salt 2666-11-7, Succinanilic acid, 3'-amino-N-ethyl-2',4',6'-triiodo-, sodium salt
(preparation of)
RN 1221-05-2 CAPLUS
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)methylamino]-4-oxo- (9CI) (CA INDEX NAME)



RN 1634-73-7 CAPLUS
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo- (9CI) (CA INDEX NAME)

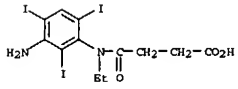


RN 2088-96-2 CAPLUS
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)methylamino]-4-oxo-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 2666-11-7 CAPLUS
CN Butanoic acid, 4-[(3-amino-2,4,6-triiodophenyl)ethylamino]-4-oxo-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L21 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1963:27046 CAPLUS
DOCUMENT NUMBER: 58:27046
ORIGINAL REFERENCE NO.: 58:4474g-h,4475a-c
TITLE: N-Alkylacylamidoiodobenzoates and iodoanilides
PATENT ASSIGNEE(S): Nyegaard & Co. A/S
SOURCE: 31 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

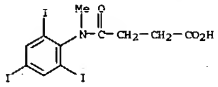
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 614519		19620315	BE	
FR M2162			FR	
GB 987796			GB	
US 3178473		1965	US	
PRIORITY APPLN. INFO.:			NO	19610228

GI For diagram(s), see printed CA Issue.
AB Compds. of the general formulas I and II, where X and Y can be NH2, an acylamido group, or an N-alkyl-acylamido group, could be used as contrast agents for x-rays. 2,4,6-Triiodoacetanilide (2 g.) was suspended in 20 ml. EtOH, 2 ml. 5N NaOH (MeOH) was added, 0.6 ml. Me2SO4 was added, the mixture kept in a water bath at 60° apprx.15 min., kept at room temperature 12 hrs., and the crystals filtered off to give 78% N-methyl-2,4,6-triiodoacetanilide, m. 183-90°. Similarly prepared were I (R, X, Y, m.p. given): H, AcNMe, H, --; H, AcNMe, NH2, 275°; Mc, AcNMe, NH2, --; Me, AcNMe, AcNMe, --; H, AcNMe, AcNMe, --; H, AcNPr, AcNPr, --; H, AcN(CH2)2OH, AcN(CH2)2OH, 196-7° (EtOH-EtOAc); H, AcNEt, H, 210-35°; H, AcNPr, H, 132-40°; H, AcNBu, H, --; H, AcNEt, NH2, 200-50°; H AcNPr, NH2, 240-62° (decomposition); H, AcNBu, NH2, 15.5-60°; H, AcNAm, NH2,141°; H, EtCONMe, NH2, 156-60° ; H, EtCONEt, NH2, --; H, EtCONPr, NH2, --; H, PrCONMe, NH2, --; H, AcNEt, AcNEt, 248-53°; H, EtCONMe, EtCONMe, 230-2°; H, EtCONPr, EtCONPr, 265-70°; H, AcN(CH2CO2H), H, 255°; H, AcN(CH2CO2H), AcN(CH2CO2H), 150-80°; H, AcN(CH2CO2H), NH2, 160-1°; H, AcNPr, EtCONH, 254-7°; H, AcNBu, EtCONH, 158-61°; H, EtCONMe, AcNH, 280-90°; H, EtCONEt, AcNH, --; H, EtCONPr, AcNH, --; Also prepared were II (R, R', m.p. given): Me, (CH2)2CO2H, 160-3.5° (C6H6); Et, (CH2)2CO2H, 146-9°; and Pr, (CH2)2CO2H, 167-78°. Also prepared were compds. of the general formula: Z-MeNOC(CH2)4CONMe-Z (Z given): 2,4,6-triiodo-3-carbomethoxyphenyl, and 2,4,6-triiodo-3-carboxyphenyl. Other compds. prepared were the mono N-alkyl derivs. of I (alkyl group on N, R, X, Y, m.p. given): Me, Me, AcNH, AcNH, --; Me, H, AcNH, AcNH, --; Pr, H, AcNH, AcNH, 265-70°; Bu, H, AcNH, AcNH, 200-65°; Am, H, AcNH, AcNH, 168-71°; Me, H, EtCONH, EtCONH, 288-95° (decomposition); and Et, H, EtCONH, EtCONH, --.
IT 18982-98-4, Succinanilic acid, 2',4',6'-triiodo-N-methyl- 35735-45-6, Succinanilic acid, N-ethyl-2',4',6'-triiodo-

91805-93-5, Succinanilic acid, 2',4',6'-triiodo-N-propyl-
(preparation of)

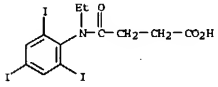
RN 18982-98-4 CAPLUS

CN Butanoic acid, 4-[methyl(2,4,6-triiodophenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



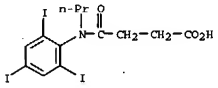
RN 35735-45-6 CAPLUS

CN Butanoic acid, 4-[ethyl(2,4,6-triiodophenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 91805-93-5 CAPLUS

CN Succinanilic acid, 2',4',6'-triiodo-N-propyl- (7CI) (CA INDEX NAME)



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---Logging off of STN---

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Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	232.94	870.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-30.49	-31.88

STN INTERNATIONAL LOGOFF AT 14:16:43 ON 22 APR 2004